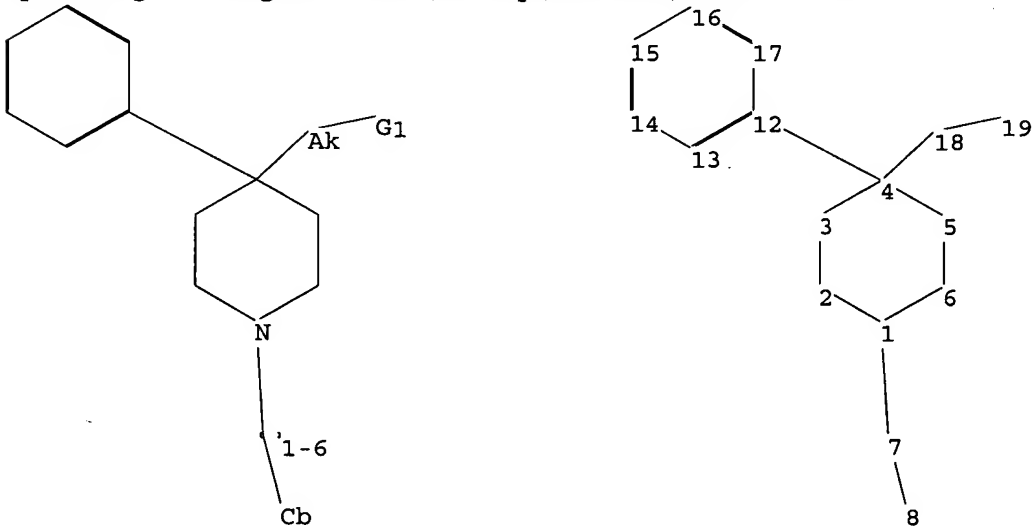
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10722114.str



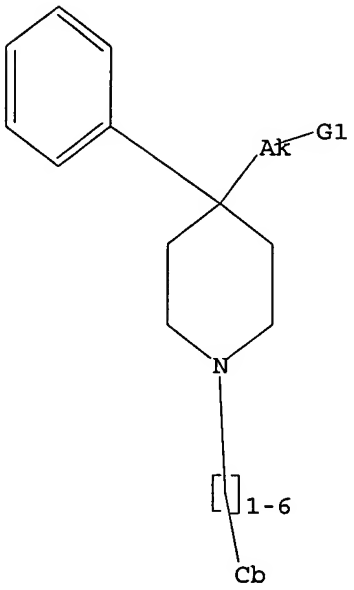
chain nodes :
7 8 18 19
ring nodes :
1 2 3 4 5 6 12 13 14 15 16 17
chain bonds :
1-7 4-12 4-18 7-8 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-18 5-6 18-19
exact bonds :
4-12 7-8
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 12 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 15:55:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 177611 TO ITERATE

100.0% PROCESSED 177611 ITERATIONS 1615 ANSWERS
SEARCH TIME: 00.00.02

L2 1615 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 15:55:53 ON 08 FEB 2006

FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l2
L3 685 L2

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.84	168.99

FILE 'REGISTRY' ENTERED AT 15:58:20 ON 08 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9
DICTIONARY FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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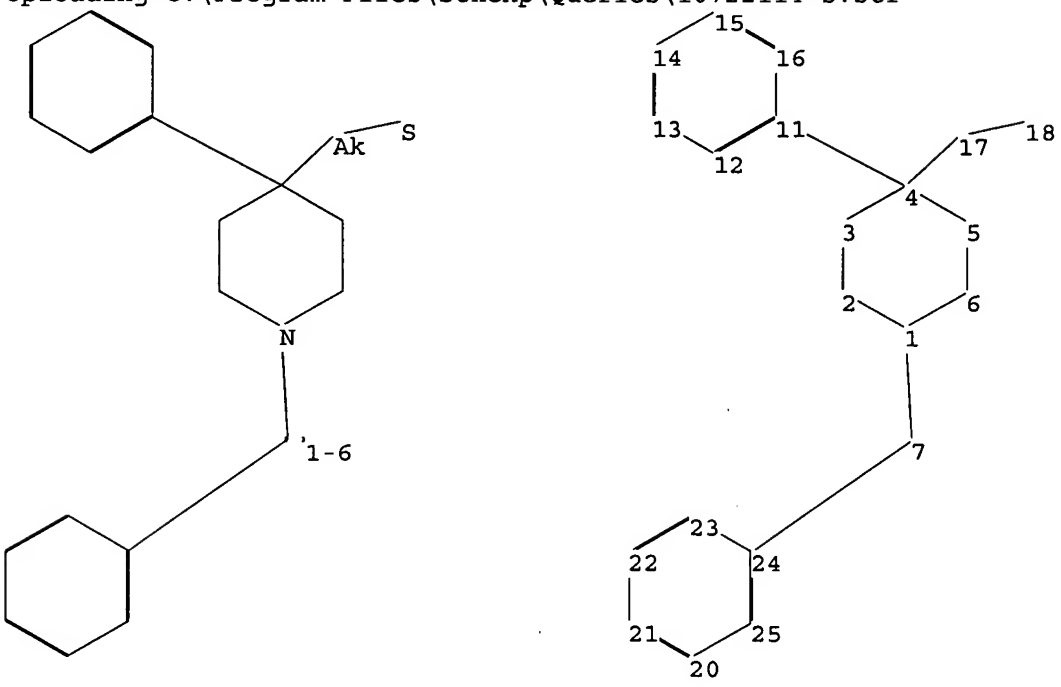
Uploading C:\Program Files\Stnexp\Queries\10722114-s.str



chain nodes :
7 17 18
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 20 21 22 23 24 25
chain bonds :
1-7 4-11 4-17 7-24 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-25
21-22 22-23 23-24 24-25
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-17 5-6 17-18
exact bonds :
4-11 7-24
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-25 21-22 22-23 23-24 24-25

isolated ring systems :
containing 1 : 11 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom
24:Atom 25:Atom

L4 STRUCTURE UPLOADED

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=> d l4
L4 HAS NO ANSWERS
L4              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l4
SAMPLE SEARCH INITIATED 15:58:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     5103 TO ITERATE

 39.2% PROCESSED     2000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        97777 TO   106343
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L4

=> s l4 ful
FULL SEARCH INITIATED 15:58:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   101466 TO ITERATE

100.0% PROCESSED   101466 ITERATIONS                                 26 ANSWERS
SEARCH TIME: 00.00.02

L6           26 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.94     335.93

FILE 'CAPLUS' ENTERED AT 15:58:59 ON 08 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 8 Feb 2006  VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006  (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
```

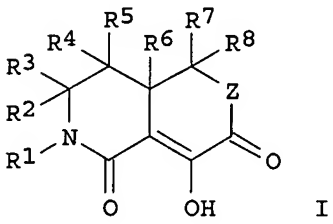
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l6
L7 5 L6

=> d abs fbib hitstr 1-5

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB Title compds. I [Z = O, (un)substituted-N; R1 = substituted alkyl; R2-R5 = H, alkyl, haloalkyl, etc.; R6 = H, alkyl, haloalkyl, etc.; R7, R8 = H, alkyl, haloalkyl, acyl, carboxy, etc.] are prepared For instance, 2-(4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,4a,5,6-hexahydro-2,6-naphthyridine-1,7-dione is prepared in 7 steps from 4-fluorobenzyl bromide, 2-piperidinone, Me phenylsulfinate, nitromethane and Et oxalyl chloride. Most example compds. exhibit IC50 values of 1 μM or less for HIV integrase. I are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. I can be employed in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.
AN 2005:1026845 CAPLUS
DN 143:326342
TI Preparation of substituted naphthyridines as HIV integrase inhibitors
IN Morrissette, Matthew M.; Williams, Peter D.; Wai, John S.; Fisher, Thorsten E.; Lyle, Terry A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005086700	A2	20050922	WO 2005-US7106	20050304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004-551440P P 20040309

OS MARPAT 143:326342

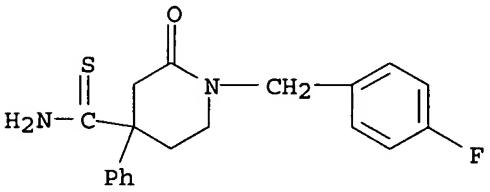
IT **865088-52-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted naphthyridines as HIV integrase inhibitors)

RN 865088-52-4 CAPLUS

CN 4-Piperidinecarbothioamide, 1-[(4-fluorophenyl)methyl]-2-oxo-4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; R1 = H, C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl; Q = amino-tetrahydronaphthyl, amino-benzocycloheptyl, methylamino-tetrahydronaphthyl, aminoindanyl, amino-benzothiopyranyl, amino-1,4-dihydro-1,4-methanonaphthyl, etc.; n = 0, 1, 2], stereoisomers, and pharmaceutically acceptable salts are prepared as agonists of the human melanocortin receptors and, in particular, as selective agonists of the human melanocortin-4 receptor (MC-4R). Title compds. I are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Pharmaceutical composition including title compds. I and second active ingredient are claimed. Thus, the title compound II was prepared from 4-F-D-Phe-4-cyclohexyl-piperidine-4-carboxylic acid Et ester HCl salt and cis-1,2,3,4-tetrahydro-1-tert-butoxycarbonyl-naphthalene-2-carboxylic acid, which was prepared from 1,2-dihydroaphthalene, ClSO2NCO.

AN 2002:157581 CAPLUS

DN 136:216648

TI Preparation of substituted piperidines as melanocortin receptor agonists

IN Bakshi, Raman K.; Barakat, Khaled J.; Lai, Yingjie; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong

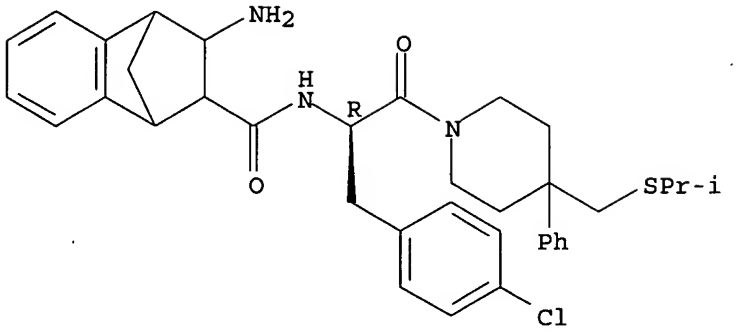
PA Merck & Co., Inc., USA

SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2002015909	A1	20020228	WO 2001-US25757		20010817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
			US 2000-227180P	P	20000823
CA 2419310	AA	20020228	CA 2001-2419310		20010817
			US 2000-227180P	P	20000823
			WO 2001-US25757	W	20010817
AU 2001088285	A5	20020304	AU 2001-88285		20010817
			US 2000-227180P	P	20000823
			WO 2001-US25757	W	20010817
EP 1320366	A1	20030625	EP 2001-968006		20010817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			US 2000-227180P	P	20000823
			WO 2001-US25757	W	20010817
JP 2004506687	T2	20040304	JP 2002-520830		20010817
			US 2000-227180P	P	20000823
			WO 2001-US25757	W	20010817
US 2003236262	A1	20031225	US 2003-343040		20030127
US 6767915	B2	20040727			
			US 2000-227180P	P	20000823
			WO 2001-US25757	W	20010817

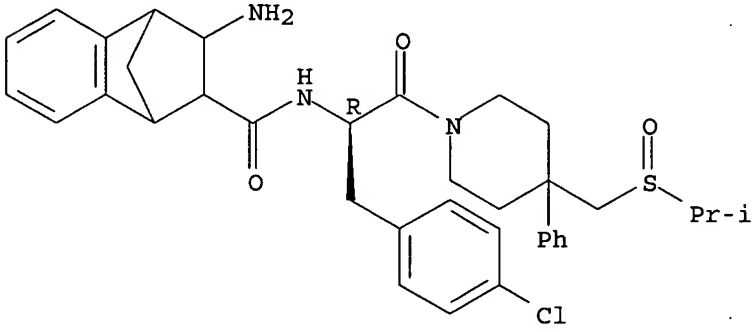
OS MARPAT 136:216648
IT **401915-36-4P 401915-38-6P 401915-41-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as melanocortin receptor agonists)
RN 401915-36-4 CAPLUS
CN 1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



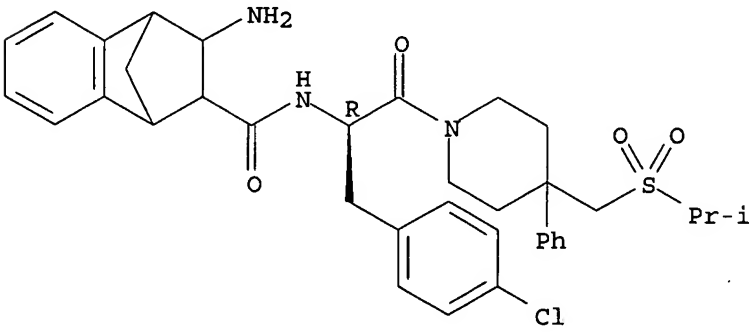
RN 401915-38-6 CAPLUS
CN 1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401915-41-1 CAPLUS
CN 1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

AN 2000:880962 CAPLUS

DN 134:42445

TI Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists

IN Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.

PA Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.

SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000074679	A1	20001214	WO 2000-US14930	20000531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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			US 1999-169209P	P 19991202
CA 2377369	AA	20001214	CA 2000-2377369	20000531

				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				WO 2000-US14930	W	20000531
EP 1187614		A1	20020320	EP 2000-937961		20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				WO 2000-US14930	W	20000531
JP 2003505435		T2	20030212	JP 2001-512328		20000531
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				WO 2000-US14930	W	20000531
AU 766191		B2	20031009	AU 2000-53068		20000531
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				WO 2000-US14930	W	20000531
US 6350760		B1	20020226	US 2000-585111		20000601
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
US 2002137664		A1	20020926	US 2001-990499		20011121
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				US 2000-585111	A3	20000601
AU 2003248456		A1	20031106	AU 2003-248456		20030929
				US 1999-137477P	P	19990604
				US 1999-169209P	P	19991202
				WO 2000-US14930	W	20000531

OS MARPAT 134:42445

IT **312637-61-9P 312637-63-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

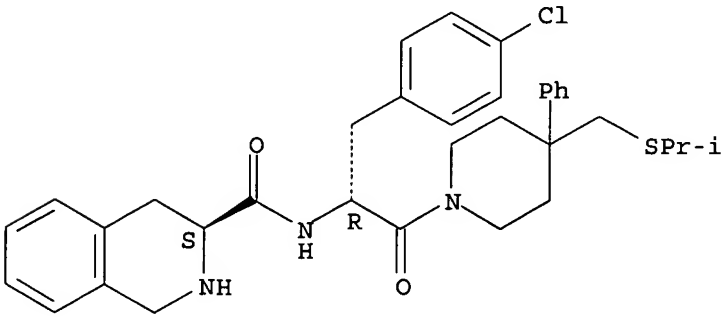
RN 312637-61-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

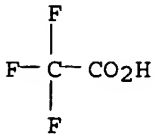
CRN 312637-60-8
CMF C34 H40 Cl N3 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

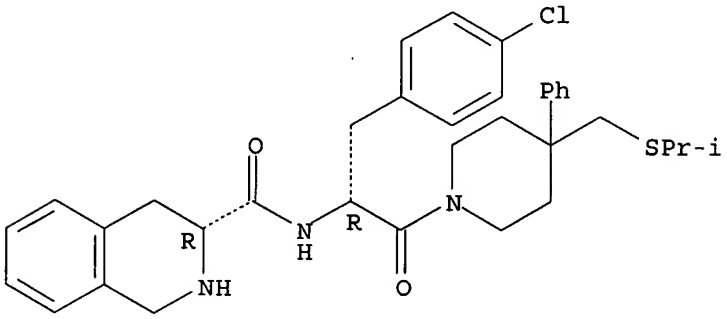


RN 312637-63-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

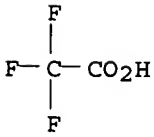
CRN 312637-62-0
CMF C34 H40 Cl N3 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **312638-54-3P 312638-56-5P 312638-59-8P**
312638-60-1P 312638-61-2P 312638-62-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

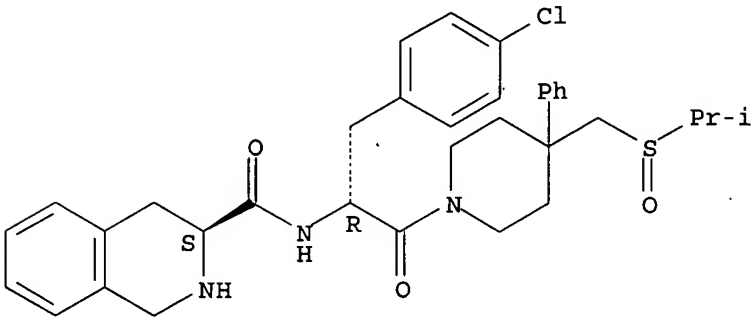
RN 312638-54-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

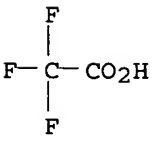
CRN 312638-53-2
CMF C34 H40 Cl N3 O3 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



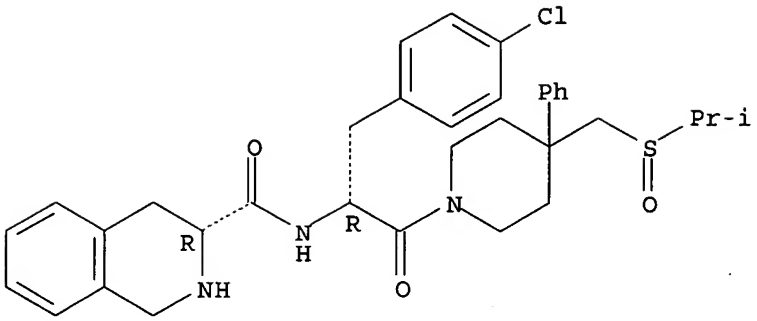
RN 312638-56-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

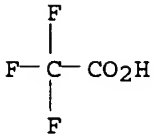
CRN 312638-55-4
CMF C34 H40 Cl N3 O3 S

Absolute stereochemistry.



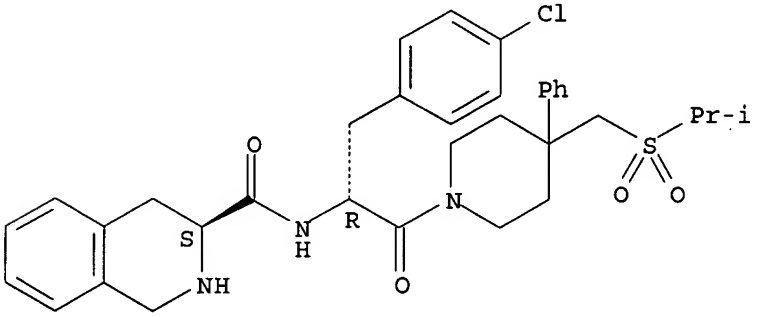
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 312638-59-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

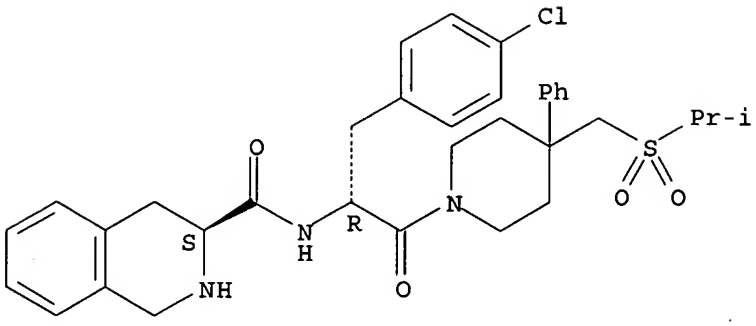


RN 312638-60-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

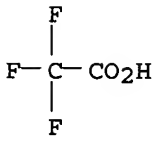
CRN 312638-59-8
CMF C34 H40 Cl N3 O4 S

Absolute stereochemistry.



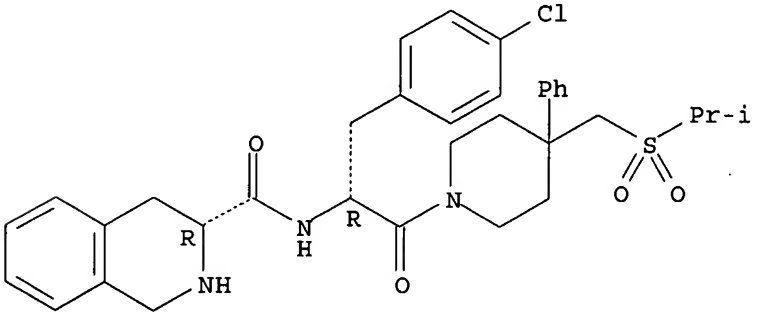
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 312638-61-2 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

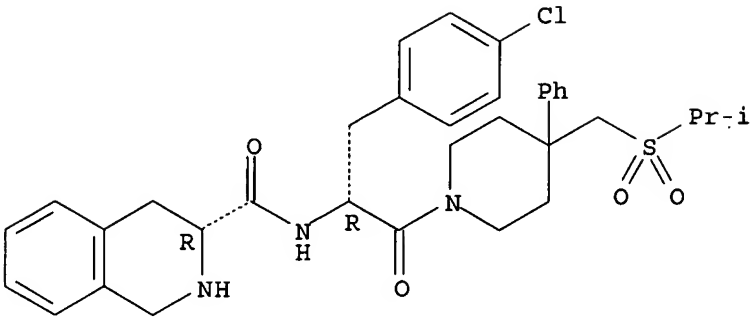


RN 312638-62-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

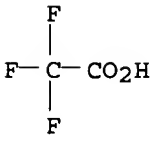
CRN 312638-61-2
CMF C34 H40 Cl N3 O4 S

Absolute stereochemistry.



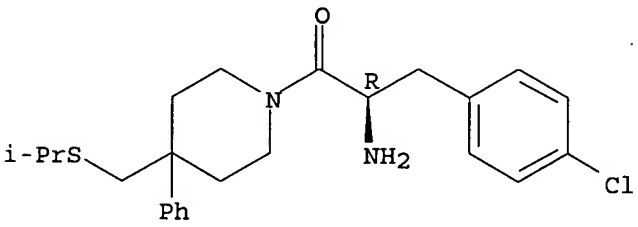
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **312639-25-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)
RN 312639-25-1 CAPLUS
CN Piperidine, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-4-[[(1-methylethyl)thio]methyl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



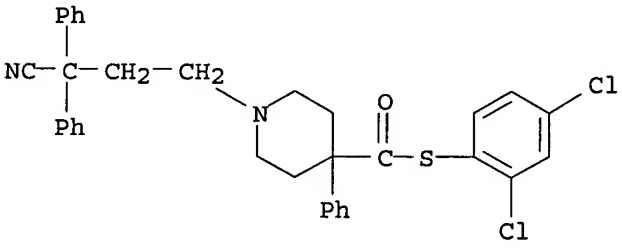
● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Seventeen title derivs. I.HCl (R = heteroaryloxy, substituted phenoxy, amino, hydrazino, alkoxy, and alkylthio) diarrhea inhibitors which also counteract the withdrawal symptoms associated with chronic psychotropic drug intoxication (no data), were prepared from the title acid I (R = OH). I possess analgesic, antiprotozoal, antibacterial, antifungal, and anthelmintic activity (no data).
AN 1974:505305 CAPLUS
DN 81:105305
TI 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid derivatives
IN Briggs, Frederick B.
PA G.D. Searle and Co.
SO Brit., 11 pp. Division of Brit. 1,356,117.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

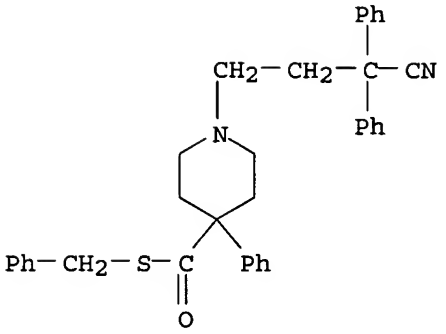
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1356118	A	19740612	GB 1971-57390	19701216
				GB 1971-57390 A	19701216

IT **37983-42-9P 37983-43-0P 53405-30-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37983-42-9 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(2,4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



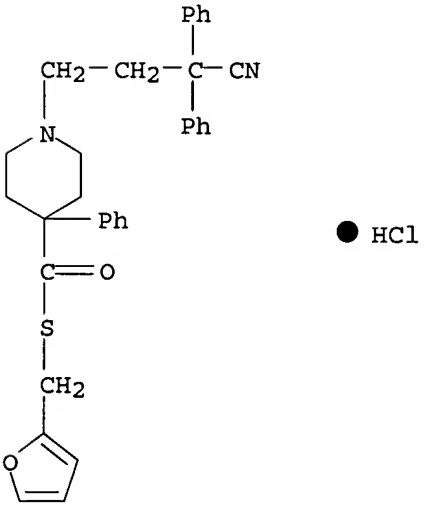
● HCl

RN 37983-43-0 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(phenylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 53405-30-4 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(2-furanylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Eighteen title compds. [I, e.g. R = 2-pyridyloxy, 2-pyridylmethoxy, 2,4,5-Cl3C6H2O (II), 3,4-Me(MeS)C6H3I, 2,4-Cl2C6H3S, PhCH2S, phthalimidomethoxy, Me2NNH, 4-MeOC6H4NH and (or) their mono- or dihydrochlorides, useful as antidiarrheal drugs, were prepared by reaction of I (R = OH or Cl) with RH. Thus, 2,4,5-Cl3C6H2OH and dicyclohexylcarbodiimide were added to I (R = OH) in DMF and the mixture was stirred 24 hr to give II.
AN 1972:539819 CAPLUS
DN 77:139819
TI 1-(3-Cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid derivatives

IN Kreider, Eunice M. S.
PA G.D. Searle and Co.
SO Ger. Offen., 35 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 2161827	A	19720706	DE 1971-2161827		19711213
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	GB 1356117	A	19740612	GB 1970-59686	A	19701216
	CA 947296	A1	19740514	CA 1971-129748		19711209
				GB 1970-59686	A	19701216
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	BE 776645	A1	19720613	BE 1971-111628		19711213
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	NL 7117062	A	19720620	NL 1971-17062		19711213
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	FR 2118061	B1	19751010			
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PATENT FAMILY INFORMATION:

FAN 1972:539818

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	BE 776645	A1	19720613	BE 1971-111628		19711213
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	NL 7117062	A	19720620	NL 1971-17062		19711213
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	FR 2118061	B1	19751010			
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	AU 7136783	A1	19730614	AU 1971-36783		19711213
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US 3847923	A	19741112	US 1971-208442		19711215
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US 3959275	A	19760525	US 1974-473750		19740528
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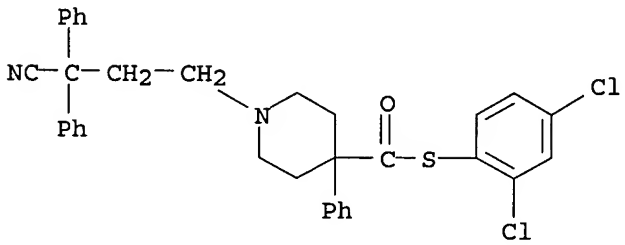
IT **37983-42-9P 37983-43-0P 37983-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37983-42-9 CAPLUS

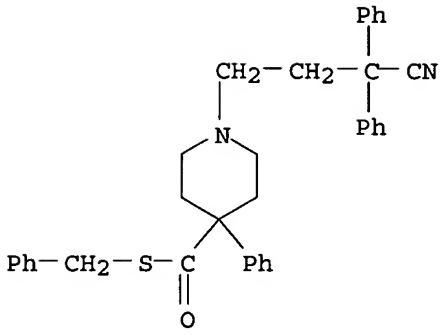
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(2,4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

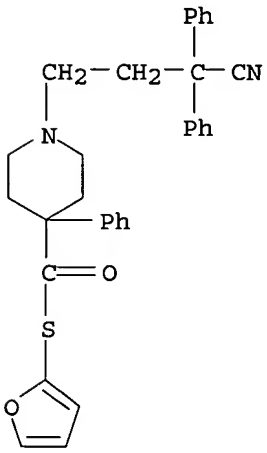
RN 37983-43-0 CAPLUS

CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(phenylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 37983-44-1 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-2-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.62	370.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

FILE 'REGISTRY' ENTERED AT 16:02:16 ON 08 FEB 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9
DICTIONARY FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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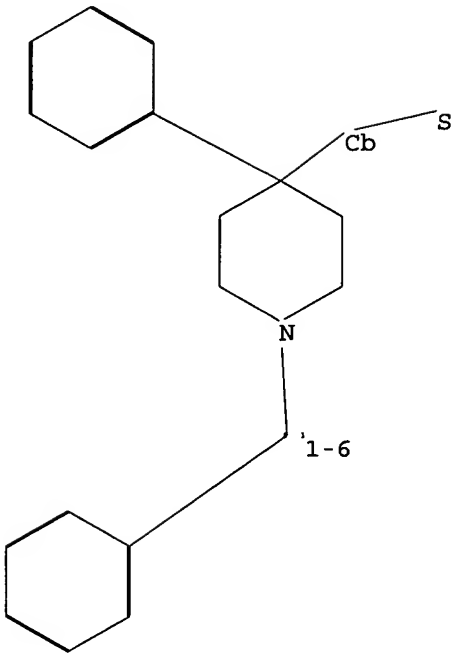
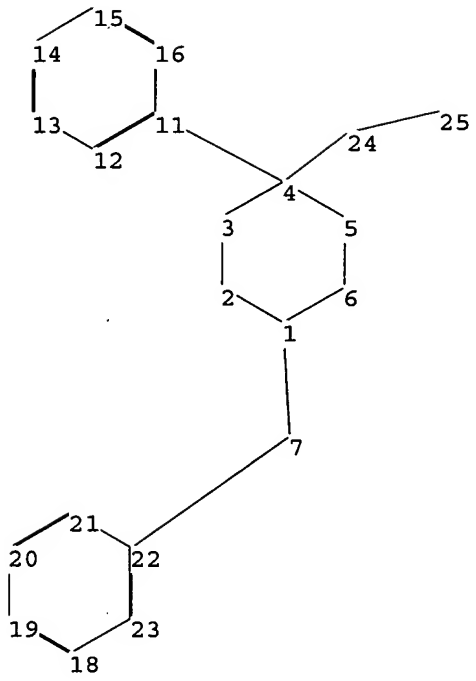




chain nodes :
7 24 25
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23
chain bonds :
1-7 4-11 4-24 7-22 24-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6
exact bonds :
4-11 4-24 7-22 24-25
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

isolated ring systems :
containing 1 : 11 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:Atom 25:CLASS

L8 STRUCTURE UPLOADED

=> d l8

L8 HAS NO ANSWERS
L8 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l8
SAMPLE SEARCH INITIATED 16:02:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5103 TO ITERATE

39.2% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 97777 TO 106343
PROJECTED ANSWERS: 0 TO 0

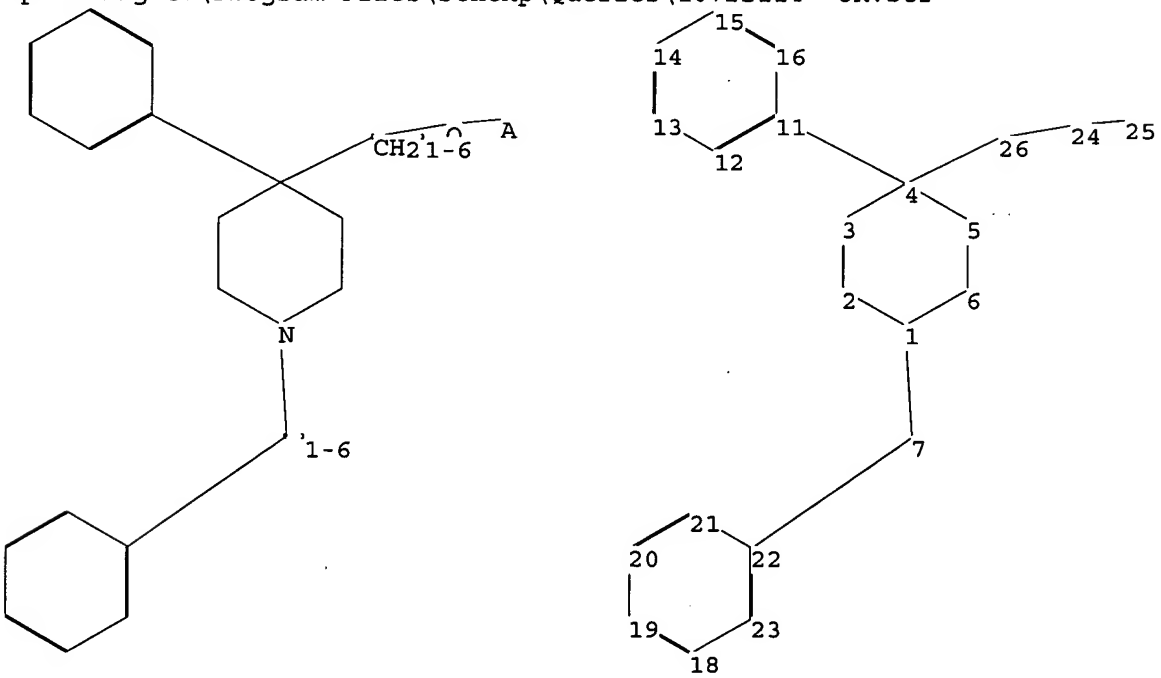
L9 0 SEA SSS SAM L8

=> s l8 ful
FULL SEARCH INITIATED 16:02:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 101466 TO ITERATE

100.0% PROCESSED 101466 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L10 0 SEA SSS FUL L8

=>
Uploading C:\Program Files\Stnexp\Queries\10722114--OR.str



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chain nodes :
7  24  25  26
ring nodes :
1  2  3  4  5  6  11  12  13  14  15  16  18  19  20  21  22  23
chain bonds :
1-7  4-11  4-26  7-22  24-25  24-26
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-16  12-13  13-14  14-15  15-16  18-19  18-23
19-20  20-21  21-22  22-23
exact/norm bonds :
1-2  1-6  1-7  2-3  3-4  4-5  5-6  24-25
exact bonds :
4-11  4-26  7-22  24-26
normalized bonds :
11-12  11-16  12-13  13-14  14-15  15-16  18-19  18-23  19-20  20-21  21-22  22-23

isolated ring systems :
containing 1 : 11 :


G1:O,S

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  11:Atom  12:Atom  13:Atom
14:Atom  15:Atom  16:Atom  18:CLASS  19:Atom  20:Atom  21:Atom  22:Atom  23:Atom
24:CLASS  25:CLASS
26:CLASS



L11     STRUCTURE UPLOADED

=> d l11
L11 HAS NO ANSWERS
L11             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l11
SAMPLE SEARCH INITIATED 16:04:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      198 TO ITERATE

100.0% PROCESSED      198 ITERATIONS                                  48 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3116 TO     4804
PROJECTED ANSWERS:              545 TO     1375

L12          48 SEA SSS SAM L11

=> s l11 ful
FULL SEARCH INITIATED 16:04:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4562 TO ITERATE
```

100.0% PROCESSED 4562 ITERATIONS 931 ANSWERS
SEARCH TIME: 00.00.01

L13 931 SEA SSS FUL L11

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	334.76	705.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.75

FILE 'CAPLUS' ENTERED AT 16:04:53 ON 08 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

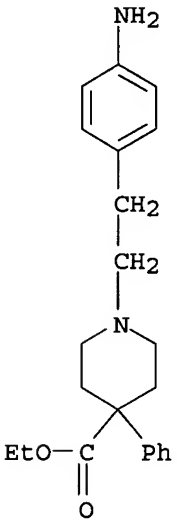
=> s l13
L14 595 L13

=> s l14 and py<2001
20847035 PY<2001
L15 457 L14 AND PY<2001

=> d abs fbib hitstr 400-410

L15 ANSWER 400 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB In crossover expts. in dogs, morphine (0.2 mg./kg. intravenously) did not prolong significantly thiopental-induced sleep time. Meperidine (2 mg./kg.) prolonged sleep slightly. Levorphanol (0.05 mg./kg.), alphaprodine (1 mg./kg.), anileridine (1 mg./kg.), and oxymorphone (0.05 mg./kg.) prolonged steep by 25-50%. Dipipanone (0.5 mg./kg.) and a combination of meperidine with promazine (1 mg./kg. each) prolonged sleep more than 50%.
AN 1962:426160 CAPLUS
DN 57:26160
OREF 57:5257g-h

TI Prolongation of thiopental-induced sleep in dogs by narcotic analgesics
AU Dobkin, Allen B.
CS Upstate Med. Center, Syracuse, NY
SO Anesthesiology (**1961**) 291-3
CODEN: ANESAV; ISSN: 0003-3022
DT Journal
LA Unavailable
IT **144-14-9**, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester
(thiopental anesthesia prolongation by)
RN 144-14-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

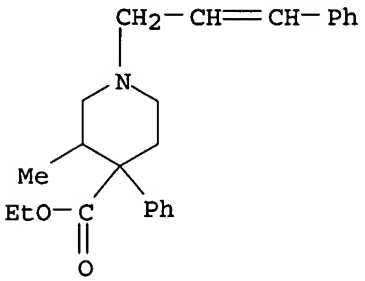


L15 ANSWER 401 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB The Me, Et, and iso-Pr esters of 3β-methyl-4-phenylpiperidine-4-carboxylate were prepared (cf. preceding abstract) and treated with PhCH:CHCH2Cl to give the title compds. (ester group and m.p. of HCl salt given): Me, 193-4°; Et, 205.5-6.0°; iso-Pr, 177.6-8.2°. The title compds. are analgesics and have barbiturate-potentiating and hypnotic activity and also have low mydriatic activity.
AN 1962:66862 CAPLUS
DN 56:66862
OREF 56:12861g-h
TI Lower-alkyl esters of 1-cinnamyl-3-methyl-4-phenylpiperidine-4-carboxylic acid
IN Janssen, Paul A. J.
DT Patent
LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3012030		19611205	US	19600429 <--

IT **107157-04-0**, Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester

(preparation of)
RN 107157-04-0 CAPLUS
CN Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester (7CI) (CA INDEX NAME)



L15 ANSWER 402 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB The title compds. are highly potent analgesic agents and also have barbituratepotentiating and hypnotic activity. The designation 3α-methyl indicates the cis arrangement of the 3-methyl and the 4-ester group on the piperidine ring while the 3β- is the trans configuration. 2-Hydroxyethyl-2-hydroxypropylamine, Na2CO3, and H2O are heated to 70°, p-MeC6H4SO2Cl added, and the mixture heated at 95° for 1 hr. The cooled mixture was filtered and the residue extracted with Et2O. Removal of the Et2O and purification of the residue from iso-PrOH gave N-(2-hydroxyethyl)-N-(2-hydroxypropyl)-p-toluenesulfonamide (I), m. 66.2-8.2°. The OH groups of I were replaced by Cl upon reaction with SOCl2 to yield N-(2-chloroethyl)-N-(2-chloropropyl)-p-toluenesulfonamide (II). Refluxing II with PhCH2CN and NaNH2 gave 1-(4-methylphenylsulfonyl)-3α-methyl-4-phenyl-4-cyanopiperidine (III) (MeOH-soluble), m. 143.5-6°, and the 3β-methyl derivative (IV) (MeOH-insol.), m. 217-18°. III gave 1-(4-methylphenylsulfonyl)-3α-methyl-4-phenylpiperidine-4-carboxylic acid (V) (m. 173.4-5.8°) when refluxed with KOH in HOCH2CH2OH. Similar treatment of IV gave the 3β-methyl compound (VI), m. 209.5-11.4°. VI with excess SOCl2 gave the corresponding carboxylic acid chloride which was treated with MeOH to give Me 1-(4-methylphenylsulfonyl)-3β-methyl-4-phenylpiperidine-4-carboxylate (VII), m. 137.4-40.3°. Similar treatment of V gave the 3α-methyl derivative (VIII), m. 94.5-5.4°. When EtOH replaced the MeOH, the corresponding Et ester derivs. of the 3α-methyl compound (IX), m. 127.8-8.2°, and 3β-methyl compound (X), m. 102-4.6°, were obtained. Iso-PrOH gave the iso-Pr esters: 3α-methyl (XI), m. 99-101.5°, and 3β-methyl (XII), m. 112.5-3.2°. The tosyl moiety was removed from VII, IX, and XI by treatment with a mixture of PhOH and HOAc saturated with HBr to give the resp. alkyl 3α-methyl-4-phenylpiperidine-4-carboxylates [alkyl group and b.p. (mm.) given]: Me, 122-3° (0.02); Et, - (oxalate m. 136.2-7.4°); iso-Pr, 136° (0.6). A similar treatment of VII, X, and XII gave the corresponding 3β-methyl compds. (alkyl group, b.p. (mm.), and m.p. HCl salt given): Me (XIII), 131-3° (0.4), 191-2.2°; Et (XIV), 126° (0.2), 175.6-6.2°; iso-Pr (XV), 124-6° (0.02), -. The hydrochlorides of XIII, XIV, and XV underwent a Mannich condensation with paraformaldehyde and PhCOMe to give the resp. alkyl 1-(2-benzoylethyl)-3β-methyl-4-

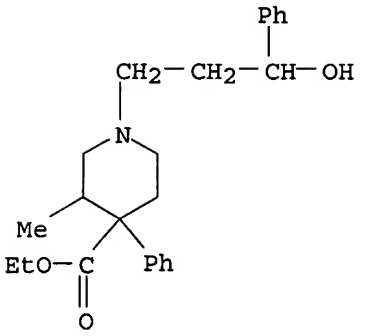
phenylpiperidine-4-carboxylate hydrochlorides (alkyl group and m.p. of HCl salt given): Me, 198-8.8°; Et (XVI), 179.7-82.4°; iso-Pr, 178.4-9.4°. XVI was converted to the free base and reduced with NaBH4 to Et 1-(3-phenyl-3-hydroxypropyl)-3β-methyl-4-phenylpiperidine-4-carboxylate (HCl salt m. 196.6-7.4°).

AN 1962:66861 CAPLUS
DN 56:66861
OREF 56:12861a-g
TI Lower alkyl esters of 1-(2-benzoylethyl)- and 1-(3-hydroxy-3-phenylpropyl)-3-methyl-4-phenylpiperidine-4-carboxylic acid
IN Janssen, Paul A. J.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3004977		19611017	US	19600429 <--
			US	19600429

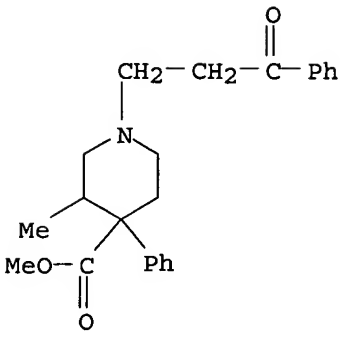
IT **13543-77-6**, Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride **95438-91-8**, Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, methyl ester, hydrochloride **95624-80-9**, Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride **95820-10-3**, Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, isopropyl ester, hydrochloride **107157-04-0**, Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester **857363-59-8**, Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, ethyl ester, oxalate
(preparation of)

RN 13543-77-6 CAPLUS
CN Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)3-methyl-4β-phenyl-, ethyl ester, hydrochloride (8CI) (CA INDEX NAME)



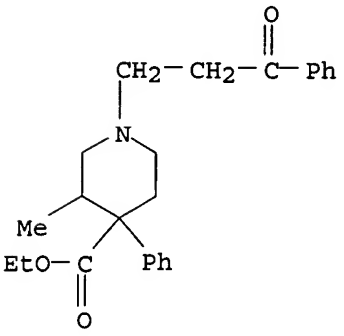
● HCl

RN 95438-91-8 CAPLUS
CN Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



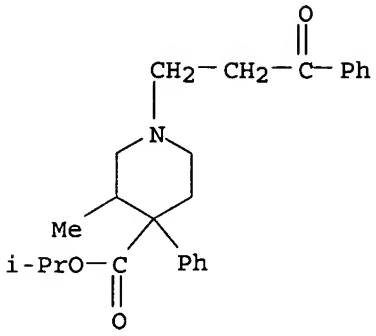
● HCl

RN 95624-80-9 CAPLUS
CN Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride (7CI) (CA INDEX NAME)



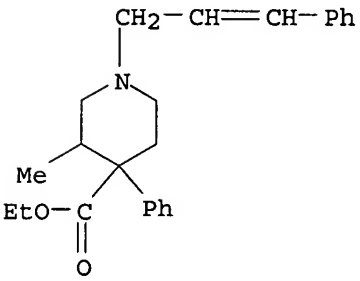
● HCl

RN 95820-10-3 CAPLUS
CN Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, isopropyl ester, hydrochloride (7CI) (CA INDEX NAME)



● HCl

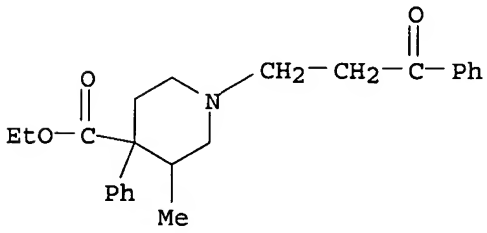
RN 107157-04-0 CAPLUS
CN Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester (7CI) (CA INDEX NAME)



RN 857363-59-8 CAPLUS
CN Isonipecotic acid, 1-(2-benzoylethyl)-3-methyl-4-phenyl-, ethyl ester, oxalate (7CI) (CA INDEX NAME)

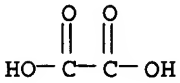
CM 1

CRN 805191-56-4
CMF C24 H29 N O3



CM 2

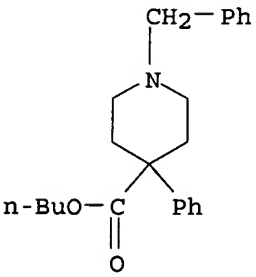
CRN 144-62-7
CMF C2 H2 O4



L15 ANSWER 403 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB I (Z = nicotinoyl) were prepared, where R and R' = alkyl radicals containing 1-8
C atoms. Nicotinoyl chloride-HCl (68 g), 46.4 g. 3,3-dimethylazetidine, and 500 ml. anhydrous CHCl3 treated slowly dropwise with 200 ml. Et3N at 0°, the mixture heated 1 hr. at 50°, poured into 600 ml. H2O, the organic layer separated, the aqueous layer extracted with CHCl3, and the combined organic solns. fractionated gave 51 g. I (R = R' = Me), b0.6 130-5°. The I were powerful analeptic agents.
AN 1962:66860 CAPLUS
DN 56:66860
OREF 56:12860h-i,12861a
TI 1-Nicotinoylazetidines
IN Testa, Emilio; Maffii, Guilo
PA Lepetit S.p.A.
DT Patent
LA Unavailable
FAN.CNT 1

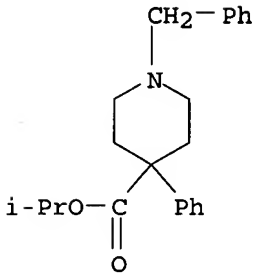
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 879883		19611011	GB	19590805 <--
			GB	19590805

IT **95437-02-8**, Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester
95940-83-3, Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (preparation of)
RN 95437-02-8 CAPLUS
CN Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester (7CI) (CA INDEX NAME)



RN 95940-83-3 CAPLUS
CN Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (7CI) (CA INDEX

NAME)



L15 ANSWER 404 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Alkyl 1-carbamoylalkyl-4-phenylpiperidine-4-carboxylates are prepared by addition of piperidine across the double bond of an acrylamide or by N-alkylation of a piperidine with cyanoalkyl halides followed by hydrolysis of the nitrile to the amide. The compds. showed antitussive and analgesic activity. Thus, 26.9 g. Et 4-phenylpiperidine-4-carboxylate-HCl (I.HCl) was covered with Et2O and shaken with 45 cc. 10% aqueous NaOH solution The Et2O layer and 7.1 g. acrylamide was heated on a steam bath for 1 hr. after the Et2O had evaporated The solid residue was dissolved in 300 cc. hot iso-PrOH, filtered, acidified with HCl gas, cooled, and treated with Et2O. The crystalline product was collected and washed with Et2O to give II (R1, R2, R3 = H, R4 = Et), m. 179.8-82.7°. Similarly prepared from the appropriate acrylamide and piperidine carboxylate were II (R1, R2, R3, R4, m.p. given): tert-Bu, H, H, Et, 159.6-61.5°; n-hexyl, H, H, Et, 106.4-9.8°; 4-MeOC6H4, H, H, Et,-(ethanesulfonate m. 128.8°); 4-ClC6H6, H, H, Et, 219.8-21.2°; Et, Ph, H, Et, 150-1.2°; PhCH2, H, H, Et,-[102.2-4.2° (free base)]; cyclohexyl, H, H, Et, 191.0-2.8°; allyl, H, H, Et, 172.8-4.2°; 4-MeOC6H4C2H4, H, H, Et, 136.8-8.0°; PhCH2CH2, H, H, Et, 177.2-8.4°; PhCH2, Me, H, Et, 172.4-2.8°; Me, Me, H, Et, 181.8-3.4° Et, H, H, Et, 188.4-90.0°; Ph, H, H, Et, 204.6-8.4°; Et, Et, H, Et, 171-3.6°; H, H, Me, Et, 201.4-2.6°; Me, H, H, Et, 153.2-60.1°; iso-Pr, iso-Pr, H, Et, 136.8-40.6°; H, H, H, Me, 210.2-10.6°; H, H, H, iso-Pr, 196-7.6°; H, H, H, Bu, 193.2-4.8°; Me, Ph, H, Et, 86-8° (free base); Me, Ph, H, Et, 164-4.8°; H, H, H, n-hexyl, -; Bu, H, H, Et, -; Et, Me, H, Et,-; 2-naphthyl, H, H, Et, -; 2-biphenylyl, H, H, Et, -; 2-furyl, Me, H, Et, -; 3-pyridyl, H, H, Et, -; 2-thienyl, Pr, H, Et, -; 2-pyrimidyl, Me, H, Et, -; Ph, Ph, H, Et, -; 4-ClC6H4, Ph, H, Et, -; 3-EtOC6H4, Me, H, Et, -; 2-MeC6H4, H, H, Et, -; 2,4-ClEtOC6H5, H, H, Et, -; 3,4,5-(MeO)3C6H2, H, H, Et, -; 4-BuNHC6H4, H, H, Et, -; 4-AcNHC6H4, H, H, Et, -; 4-EtSC6H4, H, H, Et, -; 4-EtSO2C6H4, H, H, Et, -; 4-H2NC6H4, Me, H, Et, -; 2-thiazolyl, H, H, Et,-. Also prepared were II where R3 = H, R4 = Et, and NR1R2 were the following cyclic moieties: 2-methylpiperidino, m. 182.6-4.4°; pyrrolidino, m. 183.8-5.4°; morpholino, m. 217.6-18.8°; piperidino, m. 189.2-91.2%. A mixture of I (from 26.9 g. of its HCl salt) in 200 cc. EtOH, 10 cc. C5H5N, and 10.3 g. of 3-cyanopropyl chloride was refluxed 12 hrs. and evaporated The residue was dissolved in iso-PrOH-HCl. Dilution with Et2O gave a precipitate of 10.1 g. III (n = 3) (IIIa), m. 183-6°. Similarly prepared was III (n = 4) (IIIb), m. 107.2° (softens). IIIa (10.1 g.)

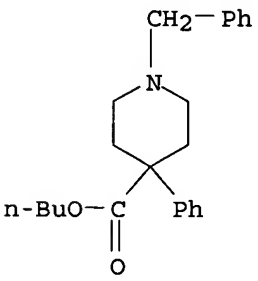
was converted to the free base, dissolved in 50 cc. concentrated H2SO4, and kept
1 day. The mixture was diluted with ice and H2O, basified with NaOH and extracted
with Et2O. The extract was evaporated and the residue was dissolved in iso-PrOH-HCl, and diluted with Et2O to give 6.5 g. IV (n = 3, R = H), m. 186.2-8.4°. Similarly prepared was IV (n = 4, R = H). IV (n = 6, R = Me) was prepared from I.HCl and 6-(N-methylcarbamoyl)hexyl chloride as in the method for II. III (n = 2) (IIIc), m. 200-2.5°, was prepared from I and acrylonitrile as in the preparation of II, which was hydrolyzed to IV (n = 2, R = H). Brit. 880,140. The prepns. of IIIa, IIIb, and IIIc are described.

AN 1962:66859 CAPLUS
DN 56:66859
OREF 56:12860a-h
TI Substituted piperidines
PA Sterling Drug Inc.
DT Patent
LA Unavailable

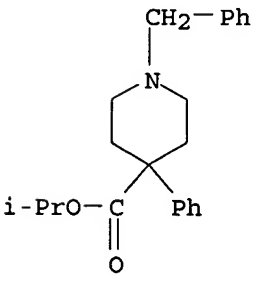
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI GB 880139		19611018	GB		<--
			US	19580430	
US 3117128		1964	US		<--

IT **95437-02-8**, Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester
95940-83-3, Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester
(preparation of)

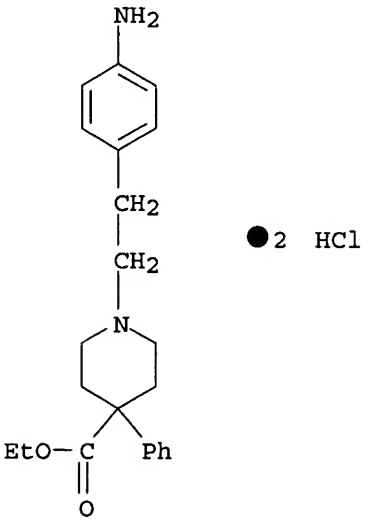
RN 95437-02-8 CAPLUS
CN Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester (7CI) (CA INDEX NAME)



RN 95940-83-3 CAPLUS
CN Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (7CI) (CA INDEX NAME)

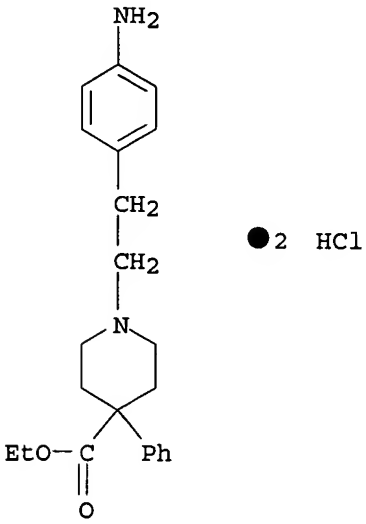


L15 ANSWER 405 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB Changes in tooth pulp threshold in rabbits following oral and subcutaneous administration of codeine, morphine, levorphan, and anileridine showed approx. equal relative potencies for the first 3 while the last was approx. 1/2 that of the others.
AN 1962:56966 CAPLUS
DN 56:56966
OREF 56:10866a-b
TI Oral versus subcutaneous potency of codeine, morphine, levorphan, and anileridine as measured by rabbit tooth pulp changes
AU Leaders, Floyd E.; Keasling, H. H.
CS Univ. of Iowa, Iowa City
SO Journal of Pharmaceutical Sciences (**1962**), 51, 46-9
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA Unavailable
IT **126-12-5**, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester, dihydrochloride
(analgesic activity of, route of adminstration in relation to)
RN 126-12-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



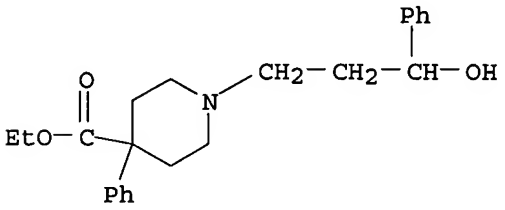
L15 ANSWER 406 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB Pyrogallol (I) (1-50 mg./kg.), intravenously in dogs, caused decreased duodenal activity in 1-2 min. (resembling the effect of 1-2 mg./kg. adrenaline) and increased the rat contractions in 2-10 min., and the tonus in 15-40 min. Effects of I were increased by tolazoline (5 mg./kg.), phentolamine (10 mg./kg.), yohimbine, and dichloroisoprenaline (3 mg./kg.); decreased by atropine (100%) and by histamine (short time); and mepyramine or hexamethonium were without effect. Large doses of neostigmine counteracted the effect of atropine.
AN 1962:56965 CAPLUS

DN 56:56965
OREF 56:10865h-i,10866a
TI Effect of pyrogallol on duodenal motility
AU Izquierdo, Ivan; Izquierdo, Juan A.
CS Fac. Farm. Bioquim., Buenos Aires
SO Journal of Pharmacy and Pharmacology (**1961**), 13, 743-6
CODEN: JPPMAB; ISSN: 0022-3573
DT Journal
LA Unavailable
IT **126-12-5**, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester, dihydrochloride
(analgesic activity of, route of adminstration in relation to)
RN 126-12-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



L15 ANSWER 407 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB The following drugs possess an addiction-forming or -sustaining liability similar to morphine: β-methadol, etoxeridine {Et 1-[2-(2-hydroxyethoxy)ethyl]-4-phenyl-4-piperidinecarboxylate} (Atenorax, Atenos, Carbetidine), levomoramide, (l-3-methyl-2,2-diphenyl-4-morpholinobutyrylpyrrolidine), racemoramide, trimeperidine (1,2,5-trimethyl,4-phenyl-4-propionoxypiperidine) (Promedol), and phenoperidine [Et 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-4-piperidinecarboxylate].
AN 1961:133624 CAPLUS
DN 55:133624
OREF 55:25163h-i
TI Finding of certain drugs to be opiates
AU Anon.
SO Federal Register (**1961**), 26, 7760-1, 19 Aug 1961
CODEN: FEREAC; ISSN: 0097-6326
DT Journal
LA Unavailable
IT **562-26-5**, Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-

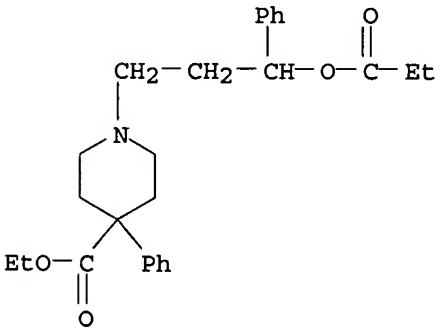
, ethyl ester
(as narcotic)
RN 562-26-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 408 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB The title carbinol, Ph(EtO2C)C5H8NCH2CH2CH(OR)Ph (I, R = H) (II), was prepared for pharmacol. evalution since it should exhibit greater stability than the corresponding ketone (III), a Mannich base with analgesic properties, and its resolution could lead to a separation of analgesic and respiratory depressant activities. III HCl salt (12.0 g.) in 48 ml. 50% alc. and 1.2 g. NaOH in 72 ml. alc. stirred 10 min. at 20° with 1.1 g. NaBH4, diluted with CHCl3, the washed and dried CHCl3 extract distilled, and the crystalline residue, m. 89-91°, in 60 ml. Me2CHOH treated with 6.6 ml. 6.8N HCl in Me2CHOH gave 96% material, recrystd. from Me2CHOH to give dl-II HCl salt, m. 198-9°. II (3.7 g.) in 40 ml. C5H5N and 10 ml. Ac2O heated on a steam bath briefly and kept 16 hrs. at 20°, excess Ac2O decomposed with H2O, the solution taken up in Et2O, and the product on evaporation treated with 1.3 g. maleic acid in Et2O gave 94% product, recrystd. to give I (R = Ac) H maleate salt (IV), m. 137-9°. Similarly, 3.7 g. II and 3.9 g. (EtCO)2O yielded I (R = EtCO) H maleate salt (V), m. 142-3°. II (3.7 g.) in 20 ml. C5H5N kept 3 hrs. with 3.5 ml. l-menthoxyacetyl chloride with occasional swirling, the clear cold solution (ice bath) treated with 2 ml. H2O and diluted with Et2O, the product from evaporation of the washed and dried Et2O extract taken up in 15 ml. EtOAc and heated with 1.4 g. maleic acid, the filtered solution concentrated, and the residue
treated with 50 ml. dry Et 2O yielded 93% salt, m. 79-86°, [α]D -30°, crystallized (50 g.) from 150 ml. alc. and 2.5 ml. to give 9.2 g. material, m. 137-9°, recrystd. from 18 ml. alc. and 450 ml. Et2O to yield 33% I (R = menthoxyacetyl) l,l-hydrogen maleate (VI), m. 139-40°, [α]D -50° (1% MeOH). The optically pure VI (6.8 g.) in 70 ml. MeOH hydrolyzed with 2.8 g. KOH in 35 ml. 80% MeOH 15 min. at 20° and the solution diluted with 200 ml. H2O gave the free base (3.7 g., m. 83-4°), recrystd. from dilute MeOH to yield l-II, m. 86.0-6.5°, [α]D -21°, acidified (1.1 g.) in 5 ml. Me2CHOH with 1 ml. 6.8N HCl in Me2CHOH to give 0.9 g. salt, m. 187-8°, crystallized from 5 ml. Me2CHOH to yield 67% l-II HCl salt, m. 187-8°, [α]D -23°. l-II (1.1 g.) kept 16 hrs. at 20° in 10 ml. C5H5N and 5 ml. Ac2O, excess Ac2O decomposed with 5 ml. H2O, taken up in Et2O and the washed and dried Et2O layer added to 0.4 g. maleic acid in 0.8 ml. MeOH and 10 ml. Et2O, filtered from 1.5 g. product, m. 141-2°, and crystallized from 5 ml. alc. and 75 ml. Et2O yielded 87% l-IV, m. 142-3°, [α]D -22°. Similarly, use of (EtCO)2O gave 80% l-V, m. 143-4°, [α]D -23°. Acylation of 35.0 g. II with 22.1 g. d-menthoxyacetyl chloride yielded,

after fractional crystallization, 49% optically pure I (R = menthoxyacetyl) d,d-hydrogen maleate (VII), m. 138.0-8.5°, [α]D 50°, hydrolyzed (13.6 g.) to give 5.2 g. d-II HCl salt, m. 186-7°, [α]D 25°. l-II (1.9 g.) acetylated, the oily ester taken up in 100 ml. dry C6H6 and refluxed 5 hrs. with BrCN, C6H6 removed, the residue refluxed 5 hrs. with 0.8 g. LiAlH4 in 100 ml. dry tetrahydrofuran, excess LiAlH4 destroyed with EtOAc, the mixture treated with dilute HCl and Et2O, the residue on evaporation of the Et2O layer heated 2 hrs. on a steam bath with 1.0 ml. α-C10H7NCO, excess reagent destroyed with 90% aqueous Me2CO, the residue on evaporation taken up in ligroine (b. 60-80°) and chromatographed over 20 g. silica gel, the column washed with 500 ml. 1:1 C6H6-ligroine and eluted with C6H6, and the fraction crystallized from ligroine gave 0.8 g. needles, m. 113-15°, recrystd. from ligroine to give l-α-C10H7NHCO2CHPhEt, m. 116-17°, [α]D -31.5°, also produced by conversion of l-HOCHPhEt (VII) to the urethan. A duplicate experiment using 3.7 g. racemic base gave dl-α-C10H7NHCO2CHPhEt, m. 102-3° (ligroine). VII had the S configuration and l-II was S-1-(3-hydroxy-3-phenylpropyl)-4-ethoxycarbonyl-4-phenylpiperidine. The analgesic potencies in mice are listed (morphine = 1): dl-II HCl, 14; dl-IV, 8; dl-V 14; l-II HCl, 25; l-IV, 11; l-V, 14; d-II, HCl, 7. An increase in analgesic activity was accompanied by an approximately corresponding increase in respiratory depression.

AN 1961:131266 CAPLUS
DN 55:131266
OREF 55:24744d-i,24745a-c
TI Resolution and configuration of 1-(3-hydroxy-3-phenylpropyl)-4-ethoxycarbonyl-4-phenylpiperidine
AU Mazur, Robert H.
CS G. D. Searle & Co., Chicago
SO Journal of Organic Chemistry (**1961**), 26, 962-4
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA Unavailable
IT **124119-16-0**, Propionic acid, ester, with Et 1-(3-hydroxy-3-phenylpropyl)-4-phenylisonipecotate
(preparation of)
RN 124119-16-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-(1-oxopropoxy)-3-phenylpropyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



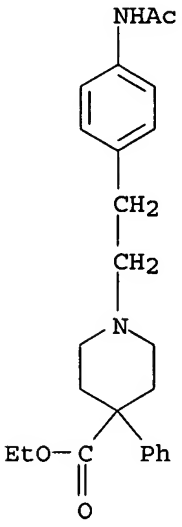
L15 ANSWER 409 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
AB The piperidines were prepared by the following sequence of reactions:

4-phenyl-4-carbethoxypiperidine carbonate (I) and a β-(p-aminophenyl)ethyl halide gave N-(p-aminophenethyl)-4-phenyl-4-carbethoxypiperidine (II), which was then treated with an acid to give the corresponding salt, or with an acylating agent to give the corresponding p-acylamino derivative, which can then be converted to its salt. Thus, 7.8 g. p-aminophenylethyl chloride-HCl, 12.5 g. I, 10.5 g. Na2CO3, and 100 cc. anhydrous EtOH was refluxed with stirring 40 hrs., then concentrated in vacuo to dryness, the residue was triturated with 50 cc. H2O, decanted, washed by decantation with 50 cc. H2O, and then dried in vacuo to give II.2HCl (III), m. 275-7°. II, prepared from 1 g. III, 2 cc. glacial HOAc, and 2 cc. Ac2O heated on a steam bath 1 hr., kept overnight at room temperature, diluted with 25 cc. H2O, excess Na2CO3 added portionwise, the aqueous layer decanted from the gummy precipitate, and the precipitate washed with H2O, and dried in vacuo gave N-(p-acetamidophenethyl)-4-phenyl-4-carbethoxypiperidine; HCl salt m. 264-5°. The mono-HCl salt, m. 218-20°, of II was prepared from II and an equimolar quantity of ethanolic HCl. p-Aminophenethyl chloride-HCl was prepared as follows: 69 g. fuming HNO3 was added slowly to 111 g. Ac2O and 66 g. HOAc at 0°, the mixture cooled to -5°, and 101 g. phenethyl bromide added over 2 hrs. with stirring between -10° and 0°, the mixture stirred 2-3 hrs. below 0°, poured into a suspension of 145 g. Na2CO3 in 1100 cc. ice H2O, the product extracted with C6H6, the extract washed with excess Na2CO3 solution, then with H2O, dried over MgSO4, the solvent evaporated in vacuo, and the residue crystallized from petr. ether to give about 55 g. p-nitrophenethyl bromide (IV), m. 65-7°. Then, 43 g. IV was added to 172 g. SnCl2, in 430 cc. concentrated aqueous HCl over 45 min., the mixture then warmed 45 min., the aqueous solution decanted, cooled, 750 cc. of 30% aqueous NaOH solution added, and the resulting solution extracted with Et2O, the extract washed with H2O and 3.5N aqueous HCl to give at 0° about 30 g. of a mixture of p-aminophenethyl bromide-HCl and p-aminophenethyl chloride-HCl. These compds. were analgesics and compared favorably with meperidine.

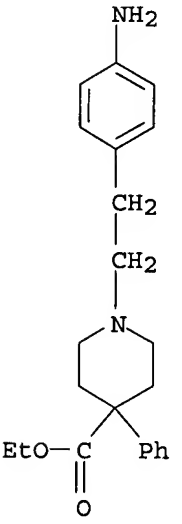
AN 1961:81799 CAPLUS
DN 55:81799
OREF 55:15515d-h
TI N-[β-(p-Acylaminophenyl)ethyl]-4-phenyl-4-carbethoxypiperidines
IN Weijlard, John; Pfister, Karl, III
PA Merck & Co., Inc.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2969368		19610124	US	<--

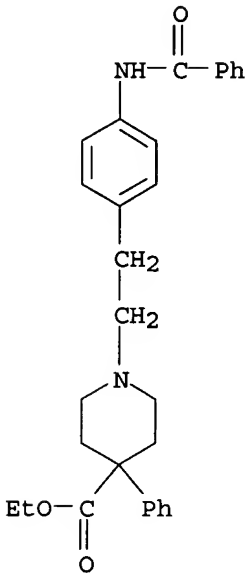
IT **57604-00-9**, Isonipecotic acid, 1-(p-acetamidophenethyl)-4-phenyl-, ethyl ester
(and its salts)
RN 57604-00-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-[4-(acetylamino)phenyl]ethyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **144-14-9**, Anileridine
(and its N-acyl derivs.)
RN 144-14-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **103209-74-1**, Isonipecotic acid, 1-(p-benzamidophenethyl)-4-phenyl-, ethyl ester
(preparation of)
RN 103209-74-1 CAPLUS
CN Isonipecotic acid, 1-(p-benzamidophenethyl)-4-phenyl-, ethyl ester (6CI) (CA INDEX NAME)

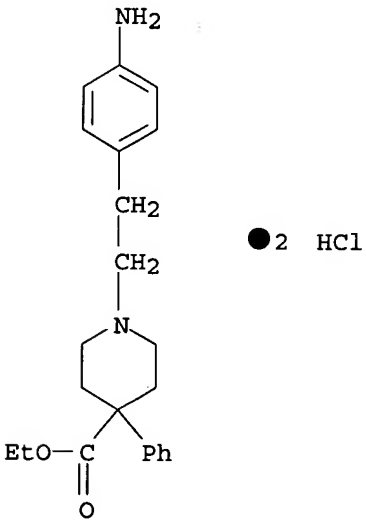


L15 ANSWER 410 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB Several compds. of the type CH2.CH2.NR.CH2.CH2.CPhCO2Et (I), wherein R = p-XC6H4(CH2)n (Ia) or p-XC6H4CH:CHCH2 (Ib), were prepared and their analgesic properties compared with that of morphine. Thus, 42 g. NH(CH2CH2OH)2 in 200 ml. 2N Na2CO3 was gradually treated at 65-70° with 70 g. PhSO2Cl, the mixture cooled 1 hr., acidified, and extracted with AcOEt to yield, after evaporation of the solvent, 72 g. PhSO2N(CH2CH2OH)2 (II), m. 72° (AcOEt-petr. ether); attempted vacuum distillation resulted in dehydration to N-benzenesulfonylmorpholine, b5 184-6°, m. 117-18°. II (5.6 g.) heated 1 hr. at 130° with 5.6 ml. SOCl2 gave 5.6 g. PhSO2N(CH2CH2Cl)2 (III), m. 42.5°. NaNH2 (from 2.76 g. Na and 150 ml. liquid NH3) treated dropwise with 7.03 g. PhCH2CN in 30 ml. PhMe and then at 30-2° with 16.9 g. III in 90 ml. PhMe and the mixture kept 12 hrs., then refluxed 1 hr., cooled, hydrolyzed, and filtered yielded 7 g. PhSO2N.CH2.CH2.CPh(CN).CH2.CH2 (IV), m. 163-4°. IV (5.82 g.) refluxed with 4.5 ml. H2SO4 and 2.5 ml. H2O till complete dissolution, treated portionwise with 24 ml. H2O, and purified with active C yielded 4.1 g. PhSO2N.CH2.CH2. CPh(CO2H).CH2.CH2 (V), m. 227-8° (H2O). V (3.3 g.) was refluxed 3 hrs. with 10 ml. EtOH and 2 ml. H2SO4 and the mixture treated with 35 ml. H2O and made strongly alkaline with 40% NaOH; distillation of an Et2O extract yielded 1.56 g. Et 4-phenylisonipecotate (VI), b3.5 155-6°, hydrochloride m. 132-3°; VI was converted to the carbonate (VII) (1.72 g.) by saturating the Et2O-solution with CO2. A suspension of 12.84 g. VII in 150 ml. EtOH was refluxed 7 hrs. with 11.6 g. p-O2NC6H4(CH2)2Br in 150 ml. EtOH, and 6.34 g. NaHCO3, filtered, and concentrated to yield 12.45 g. Ia (n = 2, X = NO2) (VIII), m. 108-9° (EtOH). Ib (X = H) (IX), m. 210-11°, and Ib (X = NO2) (X), m. 127-8°, were prepared analogously in 38 and 71.6% yields, resp. VIII (3.55 g.) in 200 ml. EtOH hydrogenated 45 min. in the presence of skeletal-Ni catalyst and a few drops of aqueous H2PtCl6 gave, after filtration, concentration, and acidification with alc. HCl, 3.17 g. Ia.2HCl (n = 2, X = NH2), m. 245-7° (XI). Similar hydrogenation yielded from IX 66% Ia.2HCl (n = 3, X = NH2) (XII), m. 221-3°, and

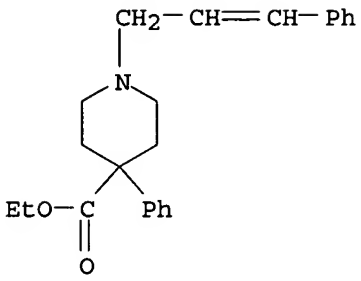
from X 50% Ia (n = 3, X = H) (XIII), m. 161-3° (AcOEt). When the catalyst was Ni alone, IX was hydrogenated to 70.8% Ib (X = NH2) (XIV), m. 182-4° (Me2CO-H2O 1:9). p-O2NC6H4CH:CHCH2Cl, m. 58-60° (iso-PrOH), used in preparing IX, was obtained when 5.8 g. p-nitrocinnamyl alc. in 40 ml. CCl4 was treated at 10-15° with 1.5 g. PCl3 in 10 ml. CCl4, refluxed 3 hrs., washed with NaOH, and concentrated; the yield was 4.4 g. Analgesic activity of XI and XII was equal to that of morphine, of XIII and XIV doubled, and of X 7-fold greater.

AN 1961:70662 CAPLUS
DN 55:70662
OREF 55:13421d-i,13422a
TI N-(Arylalkyl)-4-phenylisonipecotic acid esters
AU Smirnova, N. V.; Arendaruk, A. P.; Smolin, D. D.; Skoldinov, A. P.
SO Meditsinskaya Promyshlennost SSSR (**1958**), 12(No. 7), 31-5
CODEN: MPSSA9; ISSN: 0369-1586
DT Journal
LA Unavailable
IT **126-12-5**, Anileridine, dihydrochloride **102558-22-5**, Isonipecotic acid, 1-cinnamyl-4-phenyl-, ethyl ester, hydrochloride **102655-00-5**, Isonipecotic acid, 1-p-nitrocinnamyl-4-phenyl-, ethyl ester **102762-47-0**, Isonipecotic acid, 4-phenyl-1-(3-phenylpropyl)-, ethyl ester, hydrochloride **113751-64-7**, Isonipecotic acid, 1-(p-aminocinnamyl)-4-phenyl-, ethyl ester, dihydrochloride **113862-29-6**, Isonipecotic acid, 1-[3-(p-aminophenyl)propyl]-4-phenyl-, ethyl ester, dihydrochloride **114160-43-9**, Isonipecotic acid, 1-(p-nitrophenethyl)-4-phenyl-, ethyl ester
(preparation of)
RN 126-12-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



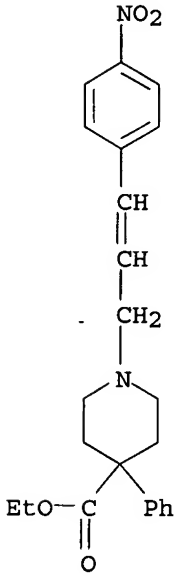
●2 HCl

RN 102558-22-5 CAPLUS
CN Isonipecotic acid, 1-cinnamyl-4-phenyl-, ethyl ester, hydrochloride (6CI) (CA INDEX NAME)

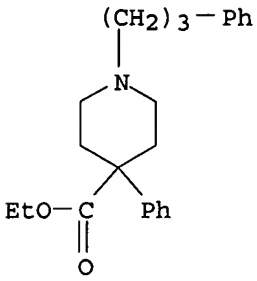


● HCl

RN 102655-00-5 CAPLUS
CN Isonipecotic acid, 1-p-nitrocinnamyl-4-phenyl-, ethyl ester (6CI) (CA INDEX NAME)

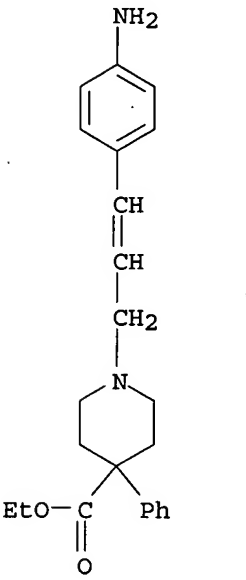


RN 102762-47-0 CAPLUS
CN Isonipecotic acid, 4-phenyl-1-(3-phenylpropyl)-, ethyl ester, hydrochloride (6CI) (CA INDEX NAME)



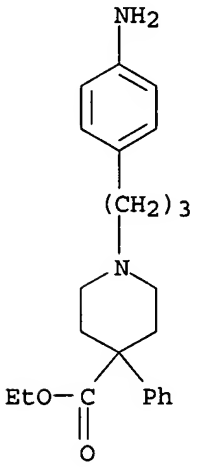
● HCl

RN 113751-64-7 CAPLUS
CN Isonipecotic acid, 1-(p-aminocinnamyl)-4-phenyl-, ethyl ester, dihydrochloride (6CI) (CA INDEX NAME)



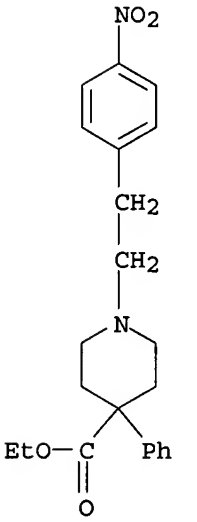
● 2 HCl

RN 113862-29-6 CAPLUS
CN Isonipecotic acid, 1-[3-(p-aminophenyl)propyl]-4-phenyl-, ethyl ester, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

RN 114160-43-9 CAPLUS
CN Isonipecotic acid, 1-(p-nitrophenethyl)-4-phenyl-, ethyl ester (6CI) (CA INDEX NAME)



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FULL ESTIMATED COST	60.46	765.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
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DICTIONARY FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9

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* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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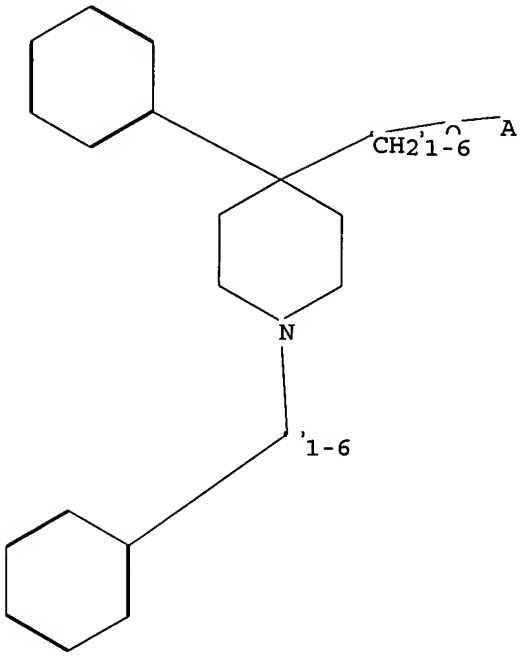

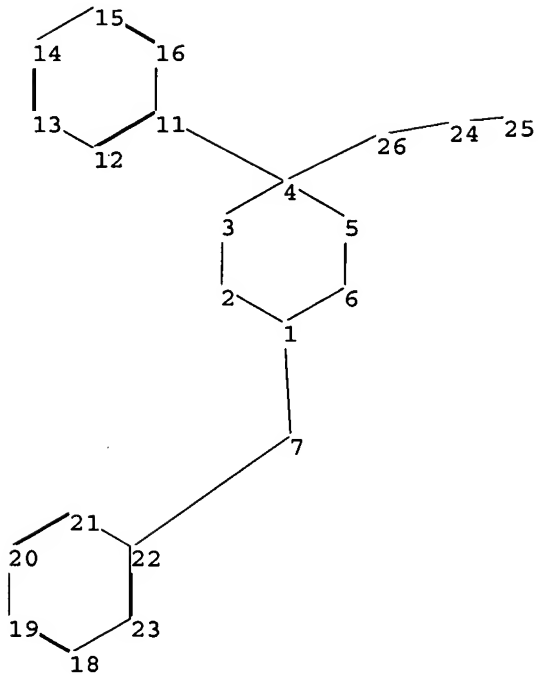

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ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23
chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 24-25
exact bonds :
4-11 4-26 7-22 24-26
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

isolated ring systems :
containing 1 : 11 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:CLASS 25:CLASS
26:CLASS

L16 STRUCTURE UPLOADED

=> d l16

L16 HAS NO ANSWERS
L16 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l16
SAMPLE SEARCH INITIATED 16:08:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 185 TO ITERATE

100.0% PROCESSED 185 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2884 TO 4516
PROJECTED ANSWERS: 4 TO 200

L17 4 SEA SSS SAM L16

=> s l16 ful
FULL SEARCH INITIATED 16:08:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4248 TO ITERATE

100.0% PROCESSED 4248 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

L18 29 SEA SSS FUL L16

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 932.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -12.00

FILE 'CAPLUS' ENTERED AT 16:08:12 ON 08 FEB 2006
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FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

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=> s l18
L19         21 L18

=> s l19 and PY<2001
      20847035 PY<2001
L20         18 L19 AND PY<2001

=> d abs fbib hitstr 1-18

L20  ANSWER 1 OF 18  CAPLUS  COPYRIGHT 2006 ACS on STN
AB   Anti-pruritic compns. for the prevention or treatment of vaginal pruritus
     comprise an opiate in a pharmaceutically acceptable carrier.  The compns.
     further comprise a therapeutic agent selected from antibacterial,
     antiseptic, antibiotic, antiinflammatory, antiparasitic, antiprotozoal,
     antiviral, and antifungal agent.  A pharmaceutically acceptable carrier is
     a gel, cream, lotion, solution or suspension.  For example,
     1-(3,3,3-triphenylpropyl)-4-hydroxy-4-p-chlorobenzylpiperidine (1.0, 2.5,
     and 5.0 mg/kg s.c.) was tested in a mouse scratch model under blind
     conditions showing a mean inhibition of scratching of 35, 68, and 94%,
     resp.
AN   2002:163847  CAPLUS
DN   136:205445
TI   Peripherally acting anti-pruritic opiates
IN   Farrar, John J.; Cowan, Alan
PA   Adolor Corp., USA
SO   U.S., 16 pp., Cont.-in-part of U. S. 5,849,762.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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				WO 1999-US17439	W	19990802

PATENT FAMILY INFORMATION:

FAN 1998:816107

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PI	US 5849762	A	19981215	US 1997-892194		19970714
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	WO 9903472	A1	19990128	WO 1998-US12831		19980619
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
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	AU 9878395	A1	19990210	AU 1998-78395		19980619
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FAN 2000:260010

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AU 9952500	A1	20000501	AU 1999-52500	19990802
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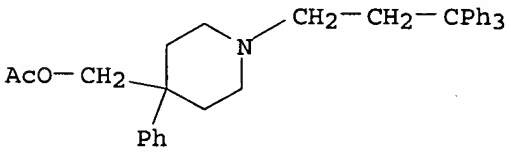
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802

OS MARPAT 136:205445

IT **189024-73-5 189024-74-6 189024-80-4 189024-83-7 189024-84-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opiate-containing compns. for prevention or treatment of vaginal pruritus)

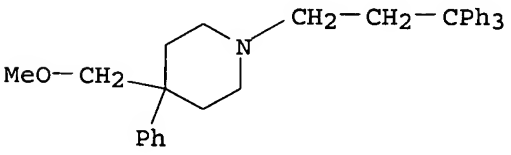
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



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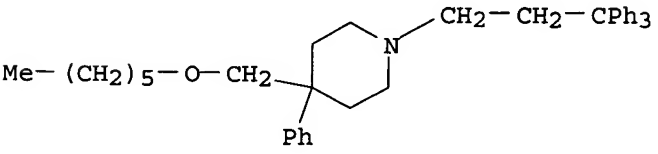
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



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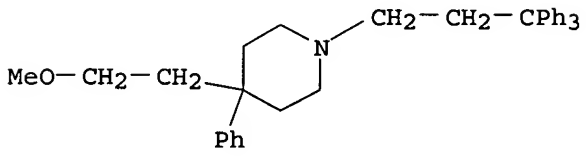

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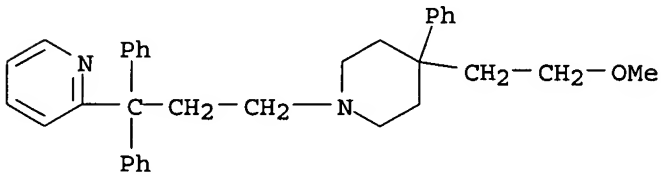

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AB Anti-pruritic compns. for the prevention or treatment of pruritus comprise e.g., morpholines, piperidines, oxadiazoles, phenylamidinoureas, and 1-azabicyclo[2.2.2]octanes. Thus, rectal suppositories contained loperamide 80, propylene glycol 95, and PEG-4000 1800 g. Loperamide at 2.5 mg/kg antagonized Compound 48/80-induced scratching in a dose-dependent manner, as demonstrated in mice.
AN 2000:260010 CAPLUS
DN 132:298831
TI Peripherally acting anti-pruritic opiates
IN Farrar, John J.; Cowan, Alan
PA Adolor Corporation, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

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				US 1998-168724	A	19981009
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	JP 2002527392	T2	20020827	JP 2000-575506		19990802
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PATENT FAMILY INFORMATION:

FAN 1998:816107

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FAN 2002:163847

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EP 1119354	A1	20010801	EP 1999-937727	19990802
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OS MARPAT 132:298831

IT **189024-73-5 189024-74-6 189024-80-4 189024-83-7 189024-84-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peripherally acting anti-pruritic opiates)

RN 189024-73-5 CAPLUS

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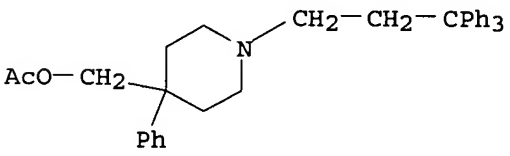

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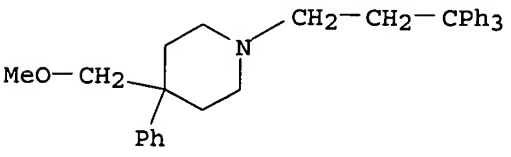

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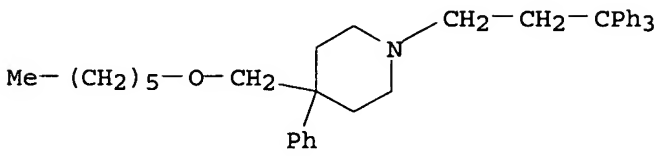

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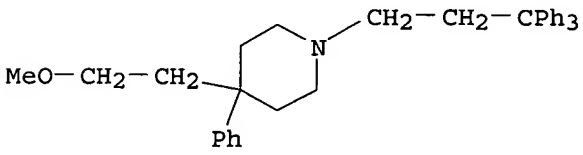

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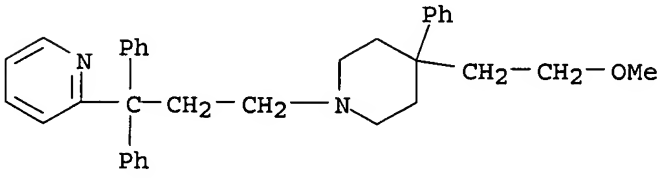

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
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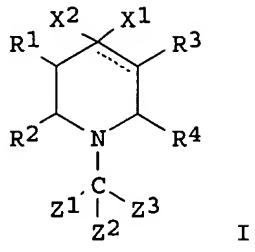
L20 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



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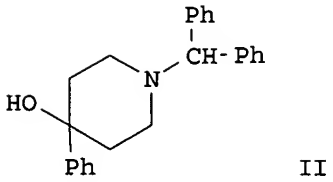

II

AB Compds. of formula I [wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl or heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl, or aryl; or X1 =(un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1, R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 1 to 3 carbon atoms; Z1 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H or Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] or pharmaceutically acceptable salt or solvate thereof useful as nociceptin receptor inhibitors for the treatment of pain, anxiety, cough, asthma, depression, and alc. abuse are disclosed. Compound II showed the Ki value of 13 nM in an in vitro test for ORL-1 receptor binding assay. Formulations are given.

AN 2000:98519 CAPLUS
DN 132:137290

TI Preparation of piperidine derivatives as high affinity ligands for nociceptin receptor ORL-1

IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; McLeod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PA Schering Corporation, USA

SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006545	A1	20000210	WO 1999-US14165	19990726 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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CA 2338206		AA	20000210	CA 1999-2338206		19990726 <--
CA 2338206		C	20051220			
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
AU 9952056		A1	20000221	AU 1999-52056		19990726 <--
AU 768607		B2	20031218			
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
BR 9912495		A	20010502	BR 1999-12495		19990726
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
EP 1100781		A1	20010523	EP 1999-937174		19990726
EP 1100781		B1	20040922			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO

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TR 200100241		T2	20010621	TR 2001-200100241		19990726
				US 1998-122878	A	19980727
JP 2002521472		T2	20020716	JP 2000-562351		19990726
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
TW 502021		B	20020911	TW 1999-88112624		19990726
				US 1998-122878	A	19980727
EP 1258244		A1	20021120	EP 2002-18161		19990726

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY

				US 1998-122878	A	19980727
				EP 1999-937174	A3	19990726
NZ 509033		A	20031128	NZ 1999-509033		19990726
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
RU 2237060		C2	20040927	RU 2001-105910		19990726
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
AT 277013		E	20041015	AT 1999-937174		19990726
				US 1998-122878	A	19980727
				WO 1999-US14165	W	19990726
PT 1100781		T	20041231	PT 1999-937174		19990726
				US 1998-122878	A	19980727
ES 2229750		T3	20050416	ES 1999-937174		19990726
				US 1998-122878	A	19980727
ZA 2001000150		A	20020107	ZA 2001-150		20010105
				US 1998-122878	A	19980727
NO 2001000467		A	20010326	NO 2001-467		20010126
NO 319772		B1	20050912			
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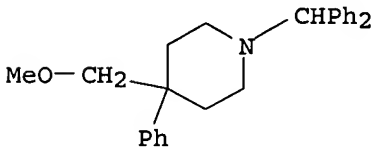
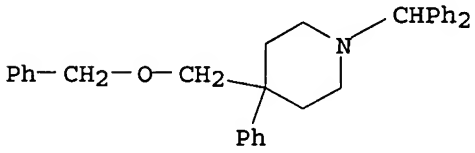
				WO 1999-US14165	W	19990726
HK 1034070		A1	20050401	HK 2001-103629		20010525
				US 1998-122878	A	19980727
				WO 1999-US14165	A	19990726

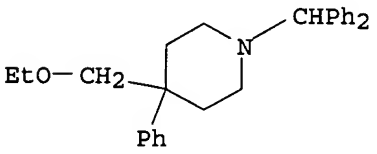
OS MARPAT 132:137290
IT **256938-06-4P 256938-21-3P 256938-22-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as high affinity ligands for nociceptin receptor ORL-1)
RN 256938-06-4 CAPLUS
CN Piperidine, 1-(diphenylmethyl)-4-(methoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 256938-21-3 CAPLUS
CN Piperidine, 1-(diphenylmethyl)-4-phenyl-4-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)



RN 256938-22-4 CAPLUS
CN Piperidine, 1-(diphenylmethyl)-4-(ethoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AB Disclosed are topical film-forming compns. for the prevention and treatment of pruritus containing (1) an opiate that is substantially devoid of central nervous system effects, (2) a film-forming polymeric material, and (3) an aqueous pharmaceutically acceptable carrier. An emulsion contained loperamide·HCl 30, ethanol 20, Na Et cellulose sulfate 25, Ca lactate 10, and water q.s. to 100 %.
AN 1999:212693 CAPLUS
DN 130:257341
TI Film-forming compositions of antihyperalgesic opiates and method of

treating hyperalgesic and pruritic conditions therewith
IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre Jim
PA Adolor Corporation, USA
SO U.S., 13 pp., Cont.-in-part of U.S. 5,667,773.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	US 5888494	A	19990330	US 1997-891924		19970714	<--
				US 1996-614027	A2	19960312	
	US 5667773	A	19970916	US 1996-614027		19960312	<--
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				WO 1998-US12834	W	19980619	
	WO 9903455	A1	19990128	WO 1998-US12834		19980619	<--
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
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	AU 728538	B2	20010111				
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				WO 1998-US12834	W	19980619	
	EP 1003489	A1	20000531	EP 1998-929109		19980619	<--
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				WO 1998-US12834	W	19980619	
	JP 2001510152	T2	20010731	JP 2000-502756		19980619	
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	NO 9906351	A	20000310	NO 1999-6351		19991220	<--
				US 1997-891924	A	19970714	
				WO 1998-US12834	W	19980619	

PATENT FAMILY INFORMATION:
FAN 1997:616927

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 5667773	A	19970916	US 1996-614027		19960312
	CA 2223514	AA	19970918	CA 1997-2223514		19970226
	CA 2223514	C	20041026			
				US 1996-614027	A	19960312
	WO 9733634	A1	19970918	WO 1997-US3315		19970226
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
				US 1996-614027	A	19960312
	AU 9719847	A1	19971001	AU 1997-19847		19970226
	AU 715912	B2	20000210			
				US 1996-614027	A	19960312
				WO 1997-US3315	W	19970226

	EP 888141	A1	19990107	EP 1997-907990		19970226
	EP 888141	B1	20040526			
	R: DE, FR, GB					
				US 1996-614027	A	19960312
				WO 1997-US3315	W	19970226
	US 5888494	A	19990330	US 1997-891924		19970714
				US 1996-614027	A2	19960312

FAN 1999:77462

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 9903455	A1	19990128	WO 1998-US12834		19980619
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
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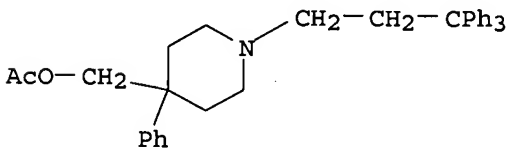
				US 1997-891924	A	19970714
	US 5888494	A	19990330	US 1997-891924		19970714
				US 1996-614027	A2	19960312
	CA 2288204	AA	19990128	CA 1998-2288204		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	AU 9880749	A1	19990210	AU 1998-80749		19980619
	AU 728538	B2	20010111			
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	EP 1003489	A1	20000531	EP 1998-929109		19980619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	JP 2001510152	T2	20010731	JP 2000-502756		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	NO 9906351	A	20000310	NO 1999-6351		19991220
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619

OS MARPAT 130:257341

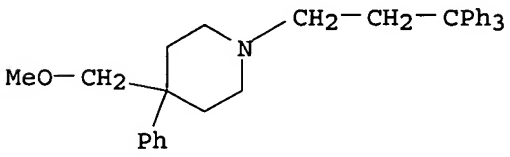
IT **189024-73-5 189024-74-6 189024-80-4**
189024-83-7 189024-84-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. containing antihyperalgesic opiates and film-forming polymers for treatment of hyperalgesic and pruritic conditions)

RN 189024-73-5 CAPLUS

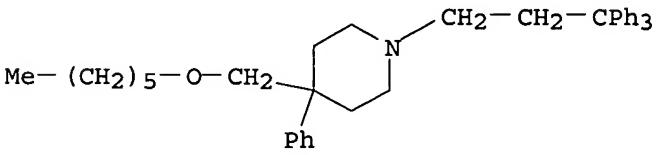
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



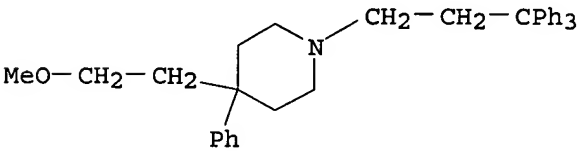
RN 189024-74-6 CAPLUS
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



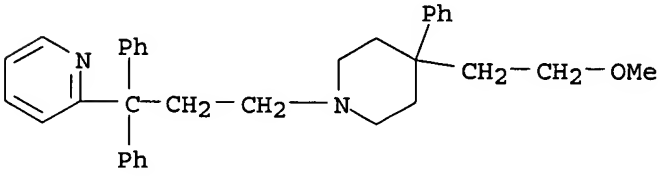
RN 189024-80-4 CAPLUS
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-83-7 CAPLUS
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)

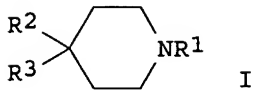


RN 189024-84-8 CAPLUS
CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB Title compds. [I; R1 = (substituted) alkyl; R2 = H, OH, alkyl, alkoxy, Ph, NMeCONHMe, NHCO2Me, Ac; R3 = aryl, aralkyl, aralkoxyalkyl, (substituted) aralkoxycarbonylamino, etc.], were prepared for treatment of AIDS (no data). Thus, N-(2-phenyl-4-oxobut-1-yl)-N-methylbenzenesulfonamide (preparation given) was stirred 20 min. with 4-phenylpiperidine, HOAc, and 3Å mol. sieves in THF; Na triacetoxyborohydride was added and the mixture was kept 16 h to give N-[2-phenyl-4-(4-phenylpiperidin-1-yl)but-1-yl]-N-methylbenzenesulfonamide hydrochloride.

AN 1999:96124 CAPLUS

DN 130:168242

TI Preparation of 1-(4-sulfonamidobutyl)piperidines and related compounds as modulators of chemokine receptor activity.

IN Caldwell, Charles G.; Finke, Paul E.; Maccoss, Malcolm; Meurer, Laura C.; Mills, Sander G.; Oates, Bryan

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 281 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 9904794	A1	19990204	WO 1998-US14990		19980721	<--
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
				US 1997-53754P	P	19970725	
				GB 1998-958	A	19980116	
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				US 1997-53754P	P	19970725	
				GB 1998-958	A	19980116	
				WO 1998-US14990	W	19980721	
	AU 9885760	A1	19990216	AU 1998-85760		19980721	<--
				US 1997-53754P	P	19970725	
				GB 1998-958	A	19980116	
				WO 1998-US14990	W	19980721	
	EP 1003514	A1	20000531	EP 1998-936920		19980721	<--
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				GB 1998-958	A	19980116	
				WO 1998-US14990	W	19980721	
	US 6136827	A	20001024	US 1998-120010		19980721	<--
				US 1997-53754P	P	19970725	
	JP 2002510327	T2	20020402	JP 1999-509949		19980721	
				US 1997-53754P	P	19970725	
				GB 1998-958	A	19980116	

WO 1998-US14990 W 19980721

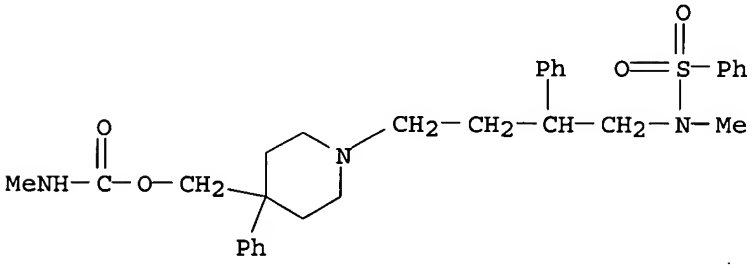
OS MARPAT 130:168242

IT **220392-83-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(4-sulfonamidobutyl)piperidines and related compds. as modulators of chemokine receptor activity)

RN 220392-83-6 CAPLUS

CN Benzenesulfonamide, N-methyl-N-[4-[4-[[[(methylamino)carbonyl]oxy]methyl]-4-phenyl-1-piperidinyl]-2-phenylbutyl]- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Disclosed are topical film-forming compns. for the prevention and treatment of pruritus containing an opiate that is substantially devoid of central nervous system effects. A topical preparation contained loperamide·HCl 25, Na carrageenan 25, Ca lactate 32, and water to 100 %.

AN 1999:77462 CAPLUS

DN 130:158399

TI Film-forming compositions of antihyperalgesic opiates and method of treating hyperalgesic and pruritic conditions therewith

IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre

PA Adolor Corporation, USA

SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9903455	A1	19990128	WO 1998-US12834	19980619 <--
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			US 1997-891924	A 19970714
US 5888494	A	19990330	US 1997-891924	19970714 <--
			US 1996-614027	A2 19960312
CA 2288204	AA	19990128	CA 1998-2288204	19980619 <--

				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	AU 9880749	A1	19990210	AU 1998-80749		19980619 <--
	AU 728538	B2	20010111			
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	EP 1003489	A1	20000531	EP 1998-929109		19980619 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	JP 2001510152	T2	20010731	JP 2000-502756		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
	NO 9906351	A	20000310	NO 1999-6351		19991220 <--
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619

PATENT FAMILY INFORMATION:

FAN 1997:616927

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5667773	A	19970916	US 1996-614027	19960312
	CA 2223514	AA	19970918	CA 1997-2223514	19970226
	CA 2223514	C	20041026		
				US 1996-614027 A	19960312
	WO 9733634	A1	19970918	WO 1997-US3315	19970226
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1996-614027 A	19960312
	AU 9719847	A1	19971001	AU 1997-19847	19970226
	AU 715912	B2	20000210		
				US 1996-614027 A	19960312
				WO 1997-US3315 W	19970226
	EP 888141	A1	19990107	EP 1997-907990	19970226
	EP 888141	B1	20040526		
	R: DE, FR, GB				
				US 1996-614027 A	19960312
				WO 1997-US3315 W	19970226
	US 5888494	A	19990330	US 1997-891924	19970714
				US 1996-614027 A2	19960312

FAN 1999:212693

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5888494	A	19990330	US 1997-891924	19970714
				US 1996-614027 A2	19960312
	US 5667773	A	19970916	US 1996-614027	19960312
	CA 2288204	AA	19990128	CA 1998-2288204	19980619
				US 1997-891924 A	19970714
				WO 1998-US12834 W	19980619
	WO 9903455	A1	19990128	WO 1998-US12834	19980619
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				

CM, GA, GN, ML, MR, NE, SN, TD, TG

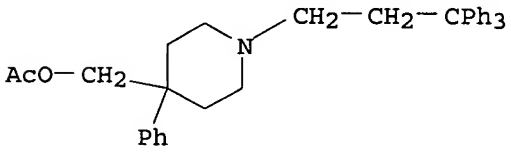
				US 1997-891924	A	19970714
AU 9880749		A1	19990210	AU 1998-80749		19980619
AU 728538		B2	20010111			
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
EP 1003489		A1	20000531	EP 1998-929109		19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI						
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
JP 2001510152		T2	20010731	JP 2000-502756		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
NO 9906351		A	20000310	NO 1999-6351		19991220
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619

OS MARPAT 130:158399

IT **189024-73-5 189024-74-6 189024-80-4 189024-83-7 189024-84-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. containing opiates and film-forming polymers for treatment of pruritic and hyperalgesic conditions)

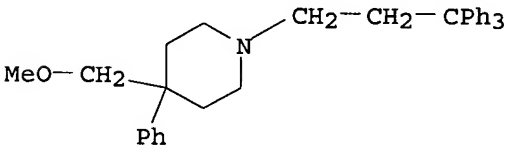
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



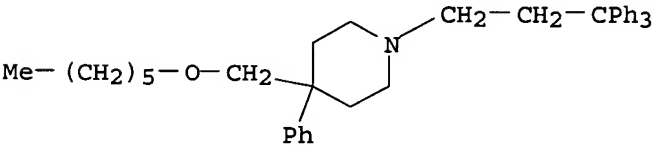
RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)

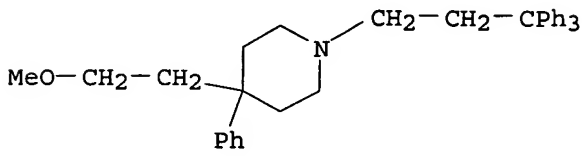


RN 189024-80-4 CAPLUS

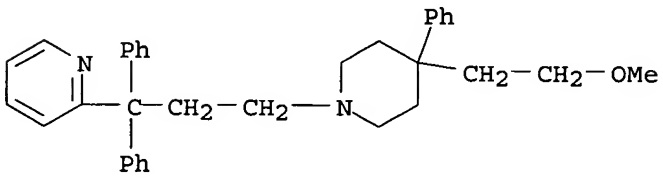
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-83-7 CAPLUS
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-84-8 CAPLUS
CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AB Anti-pruritic compns. and methods of using the compns. for the prevention or treatment of pruritus comprising opiates in a pharmaceutically acceptable carrier. The mean anti-pruritic activity of 1-[3,3-diphenyl-3-(2-pyridyl)propyl]-4-phenyl-4-piperidinecarboyxlic acid hydrochloride at 10.0 mg/kg s.c. in rats was 83%. Formulation of different pharmaceutical dosage forms are also disclosed.
AN 1998:816107 CAPLUS
DN 130:47476
TI Peripherally acting anti-pruritic opiates
IN Farrar, John J.; Cowan, Alan
PA Adolor Corporation, USA
SO U.S., 15 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	US 5849762	A	19981215	US 1997-892194		19970714	<--
	CA 2288833	AA	19990128	CA 1998-2288833		19980619	<--
				US 1997-892194	A	19970714	
				WO 1998-US12831	W	19980619	
	WO 9903472	A1	19990128	WO 1998-US12831		19980619	<--

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

				US 1997-892194	A	19970714
AU 9878395	A1	19990210		AU 1998-78395		19980619 <--
AU 725444	B2	20001012				
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619
EP 1019051	A1	20000719		EP 1998-926595		19980619 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI						
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619
BR 9810710	A	20000808		BR 1998-10710		19980619 <--
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619
NZ 500343	A	20010727		NZ 1998-500343		19980619
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619
JP 2001510157	T2	20010731		JP 2000-502771		19980619
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619
ZA 9806207	A	19990126		ZA 1998-6207		19980713 <--
				US 1997-892194	A	19970714
US 6353004	B1	20020305		US 1998-168724		19981009
				US 1997-892194	A2	19970714
NO 9906354	A	20000308		NO 1999-6354		19991220 <--
				US 1997-892194	A	19970714
				WO 1998-US12831	W	19980619

PATENT FAMILY INFORMATION:

FAN 2000:260010

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2000021530	A1	20000420	WO 1999-US17439		19990802
	W: AL, AU, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
				US 1998-168724	A	19981009
	US 6353004	B1	20020305	US 1998-168724		19981009
				US 1997-892194	A2	19970714
	CA 2346464	AA	20000420	CA 1999-2346464		19990802
				US 1998-168724	A	19981009
				WO 1999-US17439	W	19990802
	AU 9952500	A1	20000501	AU 1999-52500		19990802
				US 1998-168724	A	19981009
				WO 1999-US17439	W	19990802
	EP 1119354	A1	20010801	EP 1999-937727		19990802
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO					
				US 1998-168724	A	19981009
				WO 1999-US17439	W	19990802
	BR 9914380	A	20010807	BR 1999-14380		19990802
				US 1998-168724	A	19981009
				WO 1999-US17439	W	19990802
	JP 2002527392	T2	20020827	JP 2000-575506		19990802
				US 1998-168724	A	19981009
				WO 1999-US17439	W	19990802

FAN 2002:163847

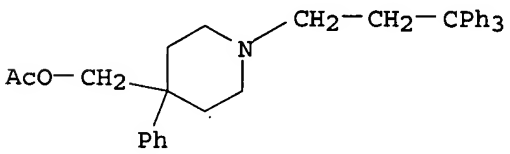
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6353004	B1	20020305	US 1998-168724	19981009
			US 1997-892194 A2	19970714
US 5849762	A	19981215	US 1997-892194	19970714
CA 2346464	AA	20000420	CA 1999-2346464	19990802
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802
WO 2000021530	A1	20000420	WO 1999-US17439	19990802
W: AL, AU, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			US 1998-168724 A	19981009
AU 9952500	A1	20000501	AU 1999-52500	19990802
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802
EP 1119354	A1	20010801	EP 1999-937727	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO				
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802
BR 9914380	A	20010807	BR 1999-14380	19990802
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802
JP 2002527392	T2	20020827	JP 2000-575506	19990802
			US 1998-168724 A	19981009
			WO 1999-US17439 W	19990802

OS MARPAT 130:47476

IT **189024-73-5 189024-74-6 189024-80-4 189024-83-7 189024-84-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peripherally acting anti-pruritic opiates)

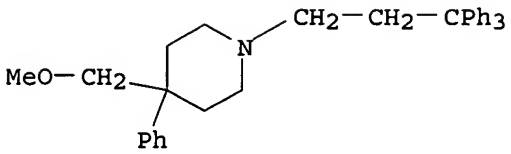
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)

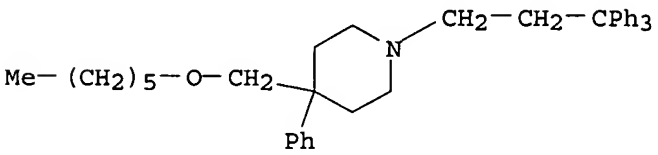


RN 189024-74-6 CAPLUS

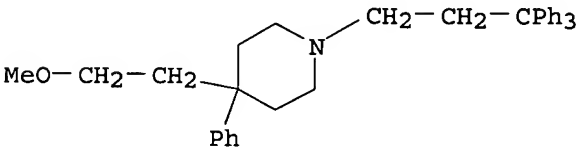
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



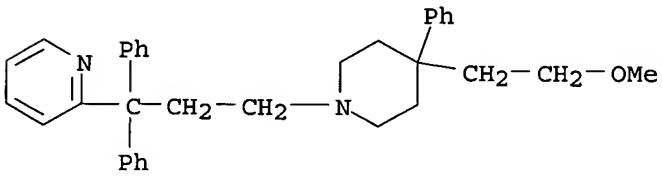
RN 189024-80-4 CAPLUS
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-83-7 CAPLUS
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-84-8 CAPLUS
CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

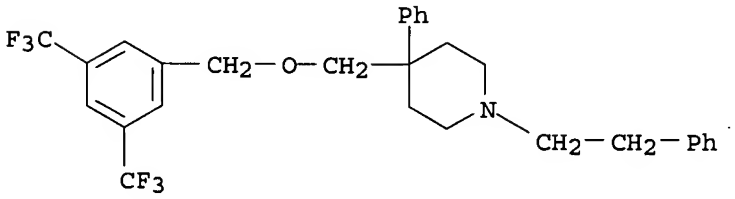
L20 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AB Previously reported studies from these labs. described the design of a novel series of high-affinity NK1 antagonists based on the 4,4-disubstituted piperidine ring system. Further structure-activity studies have now established that for high NK1 affinity the benzyl ether side chain must be 3,5-disubstituted and highly lipophilic, the optimal side chain being the 3,5-bis(trifluoromethyl)benzyl ether, 12 (hNK1 IC50 = 0.95 nM). Addnl. studies have shown that this class of NK1 antagonist

tolerates a wider range of substituents on the piperidine nitrogen, including acyl (hNK1 IC50 = 5.3 nM) and sulfonyl (hNK1 IC50 = 5.7 nM) derivs. Following preliminary pharmacokinetic anal., two compds. were selected for in vivo study in the resiniferotoxin-induced vascular leakage model, both showing excellent profiles (ID50 = 0.22 and 0.28 mg/kg, resp.).

AN 1998:642712 CAPLUS
DN 130:32676
TI 4,4-Disubstituted Piperidine High-Affinity NK1 Antagonists: Structure-Activity Relationships and in Vivo Activity
AU Stevenson, Graeme I.; Huscroft, Ian; MacLeod, Angus M.; Swain, Christopher J.; Cascieri, Margaret A.; Chicchi, Gary G.; Graham, Michael I.; Harrison, Timothy; Kelleher, Fintan J.; Kurtz, Marc; Ladduwahetty, Tamara; Merchant, Kevin J.; Metzger, Joseph M.; MacIntyre, D. E.; Sadowski, Sharon; Sohal, Balbinder; Owens, Andrew P.
CS Department of Medicinal Chemistry Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK
SO Journal of Medicinal Chemistry (**1998**), 41(23), 4623-4635
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **160377-06-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationships and in vivo activity of 4,4-disubstituted piperidine high-affinity antagonists)
RN 160377-06-0 CAPLUS
CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

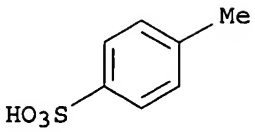
CM 1

CRN 160376-11-4
CMF C29 H29 F6 N O



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Topical anti-hyperalgesic film-forming compns. and methods of using compns. for the treatment of peripheral hyperalgesia comprise (a) antihyperalgesic opiates; (b) a film-forming polymeric material; and (c) an aqueous pharmaceutically acceptable carrier. A pharmaceutical composition contained loperamide.HCl 25.0, sodium carrageenan 25.0, calcium lactate 32.0, and water q.s. 100.0%.

AN 1997:616927 CAPLUS
DN 127:283391
TI Pharmaceutical compositions containing film-forming antihyperalgesic opiates for treatment of hyperalgesic conditions
IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre
PA Adolor Corp., USA
SO U.S., 11 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5667773	A	19970916	US 1996-614027	19960312 <--
CA 2223514	AA	19970918	CA 1997-2223514	19970226 <--
CA 2223514	C	20041026		
			US 1996-614027 A	19960312
WO 9733634	A1	19970918	WO 1997-US3315	19970226 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			US 1996-614027 A	19960312
AU 9719847	A1	19971001	AU 1997-19847	19970226 <--
AU 715912	B2	20000210		
			US 1996-614027 A	19960312
			WO 1997-US3315 W	19970226
EP 888141	A1	19990107	EP 1997-907990	19970226 <--
EP 888141	B1	20040526		
R: DE, FR, GB				
			US 1996-614027 A	19960312
			WO 1997-US3315 W	19970226
US 5888494	A	19990330	US 1997-891924	19970714 <--
			US 1996-614027 A2	19960312

PATENT FAMILY INFORMATION:

FAN 1999:77462

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9903455	A1	19990128	WO 1998-US12834	19980619
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL,				

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PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

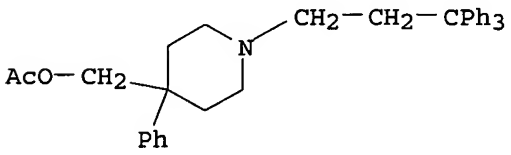
				US 1997-891924	A	19970714
US 5888494	A	19990330		US 1997-891924		19970714
				US 1996-614027	A2	19960312
CA 2288204	AA	19990128		CA 1998-2288204		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
AU 9880749	A1	19990210		AU 1998-80749		19980619
AU 728538	B2	20010111				
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
EP 1003489	A1	20000531		EP 1998-929109		19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI						
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
JP 2001510152	T2	20010731		JP 2000-502756		19980619
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619
NO 9906351	A	20000310		NO 1999-6351		19991220
				US 1997-891924	A	19970714
				WO 1998-US12834	W	19980619

FAN 1999:212693

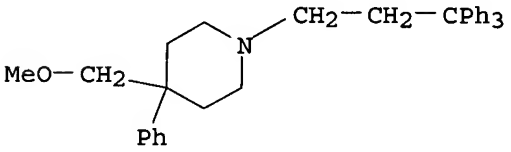
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 5888494	A	19990330	US 1997-891924		19970714
			US 1996-614027	A2	19960312
US 5667773	A	19970916	US 1996-614027		19960312
CA 2288204	AA	19990128	CA 1998-2288204		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
WO 9903455	A1	19990128	WO 1998-US12834		19980619
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
			US 1997-891924	A	19970714
AU 9880749	A1	19990210	AU 1998-80749		19980619
AU 728538	B2	20010111			
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
EP 1003489	A1	20000531	EP 1998-929109		19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
JP 2001510152	T2	20010731	JP 2000-502756		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
NO 9906351	A	20000310	NO 1999-6351		19991220
			US 1997-891924	A	19970714

IT **189024-73-5 189024-74-6 189024-80-4**
189024-83-7 189024-84-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing film-forming antihyperalgesic opiates for treatment of hyperalgesic conditions)

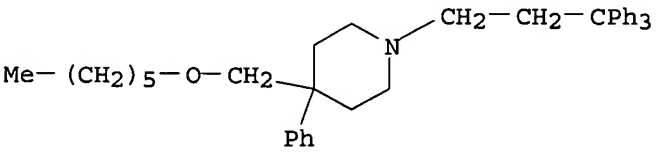
RN 189024-73-5 CAPLUS
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



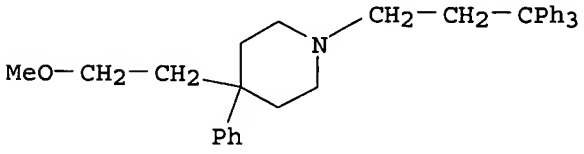
RN 189024-74-6 CAPLUS
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



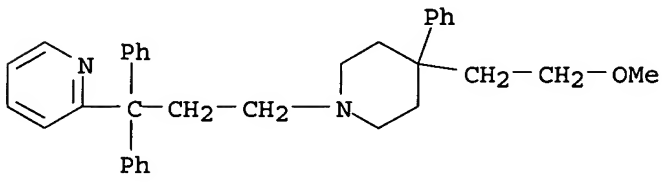
RN 189024-80-4 CAPLUS
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



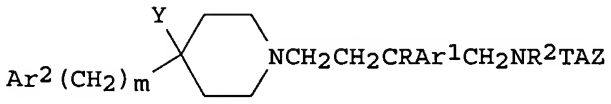
RN 189024-83-7 CAPLUS
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-84-8 CAPLUS
CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



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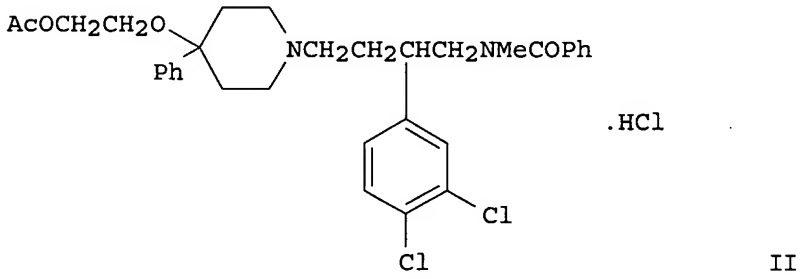

II

AB Piperidines I [R1 = H, R2 = H, alkyl; R1R2 = (CH2)nQ; Q = CO, CH2; n = 1-3; m = 0, 1; Y= (un)substituted alkyl, OH, NH2, CONH2, thiazolyl; Ar1 == (un)substituted Ph, thienyl, benzothienyl, naphthyl, indolyl, imidazolyl, pyridyl, biphenyl; Ar2 = (un)substituted Ph, pyridyl, pyrimidyl, thienyl, imidazolyl; T = CH2, CO, (un)substituted CONH, CO2; A = CH2, CH2CH2; Z = (un)substituted aromatic, heteroarom.] were prepared for use in the treatment of neurokinin- and substance P-dependent diseases (no data). Thus, piperidine II was prepared from HOCH2CH2CH(C6H3Cl2-3,4)CH2NH2 by conversion to the N-methylbenzamide, benzenesulfonylation, amination with 4-(2-hydroxyethyl)-4-phenylpiperidine (III), and acetylation. III was obtained from 1-benzyl-4-hydroxy-4-phenylpiperidine by benzoylation, reaction with ethylene glycol, and debenzylation.
AN 1997:374707 CAPLUS
DN 126:343496
TI Preparation of piperidine derivatives as neurokinin antagonists
IN Chabert, Nathalie; Emonds Alt, Xavier; Proietto, Vincenzo; Ducoux, Jean Philippe; Gueule, Patrick; Van Broeck, Didier
PA Sanofi, Fr.

SO Fr. Demande, 96 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	FR 2738245	A1	19970307	FR 1995-10142		19950828	<--
	FR 2738245	B1	19971121				
	GB 2304714	A1	19970326	GB 1996-17893		19960828	<--
	GB 2304714	B2	19990915				
				FR 1995-10142	A	19950828	
	BE 1009571	A3	19970506	BE 1996-723		19960828	<--
				FR 1995-10142	A	19950828	
	JP 09124600	A2	19970513	JP 1996-227222		19960828	<--
				FR 1995-10142	A	19950828	
	US 5830906	A	19981103	US 1996-703952		19960828	<--
				FR 1995-10142	A	19950828	
	CH 690437	A	20000915	CH 1996-2120		19960828	<--
				FR 1995-10142	A	19950828	
	US 5939411	A	19990817	US 1997-916952		19970825	<--
				FR 1995-10142	A	19950828	
				US 1996-703952	A3	19960828	
	US 5965580	A	19991012	US 1998-35823		19980306	<--
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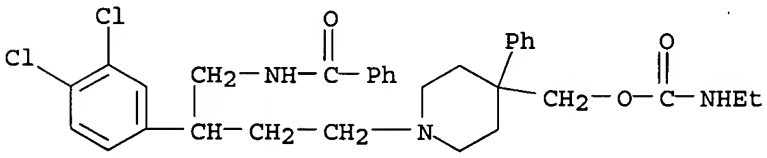
OS MARPAT 126:343496
IT **189877-29-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylpiperidines as neurokinin antagonists)
RN 189877-29-0 CAPLUS
CN Carbamic acid, ethyl-, [1-[4-(benzoylamino)-3-(3,4-dichlorophenyl)butyl]-4-phenyl-4-piperidinyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
AB Compns. and methods using the compns. for treatment of peripheral hyperalgesia are provided. The compns. contain an anti-hyperalgesia effective amount of one or more compds. that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit substantial central nervous system effects. The anti-diarrheal compound loperamide-HCl is preferred for use in the compns. and methods.

AN 1997:332024 CAPLUS
DN 126:308827
TI Peripherally active anti-hyperalgesic opiates
IN Yaksh, Tony L.; Farrar, John J.; Maycock, Alan L.; Lewis, Michael E.; Dow, Gordon J.
PA Regents of the University of California, USA; Adolor Corporation
SO PCT Int. Appl., 317 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 9709973	A2	19970320	WO 1996-US14727		19960912	<--
	WO 9709973	A3	19970605				
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG						
				US 1995-528510	A	19950912	
	US 5849761	A	19981215	US 1995-528510		19950912	<--
	CA 2229814	AA	19970320	CA 1996-2229814		19960912	<--
	CA 2229814	C	20011204				
				US 1995-528510	A	19950912	
	CA 2356097	AA	19970320	CA 1996-2356097		19960912	<--
				US 1995-528510	A	19950912	
				CA 1996-2229814	A3	19960912	
	AU 9670710	A1	19970401	AU 1996-70710		19960912	<--
	AU 727982	B2	20010104				
				US 1995-528510	A	19950912	
				WO 1996-US14727	W	19960912	
	EP 852494	A2	19980715	EP 1996-931567		19960912	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI						
				US 1995-528510	A	19950912	
				WO 1996-US14727	W	19960912	
	BR 9610345	A	19990601	BR 1996-10345		19960912	<--
				US 1995-528510	A	19950912	
				WO 1996-US14727	W	19960912	
	JP 11512438	T2	19991026	JP 1997-512136		19960912	<--
	JP 3553083	B2	20040811				
				US 1995-528510	A	19950912	
				WO 1996-US14727	W	19960912	
	JP 2002069004	A2	20020308	JP 2001-224729		19960912	
				US 1995-528510	A	19950912	
				JP 1997-512136	A3	19960912	
	NO 9800700	A	19980512	NO 1998-700		19980219	<--
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				WO 1996-US14727	W	19960912	

PATENT FAMILY INFORMATION:
FAN 1999:761526

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 5994372	A	19991130	US 1996-712881		19960912
				US 1995-528510	A2	19950912
	US 5849761	A	19981215	US 1995-528510		19950912

	CA 2229814	AA	19970320	CA 1996-2229814	19960912
	CA 2229814	C	20011204		
				US 1995-528510	A 19950912
	CA 2356097	AA	19970320	CA 1996-2356097	19960912
				US 1995-528510	A 19950912
				CA 1996-2229814	A3 19960912
	JP 2002069004	A2	20020308	JP 2001-224729	19960912
				US 1995-528510	A 19950912
				JP 1997-512136	A3 19960912
	US 6573282	B1	20030603	US 1999-374634	19990816
				US 1995-528510	A2 19950912
				US 1996-712881	A1 19960912
				US 1998-199873	A2 19981124

FAN 2003:429099

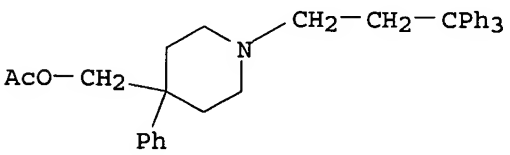
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6573282	B1	20030603	US 1999-374634	19990816
			US 1995-528510	A2 19950912
			US 1996-712881	A1 19960912
			US 1998-199873	A2 19981124
US 5849761	A	19981215	US 1995-528510	19950912
CA 2356097	AA	19970320	CA 1996-2356097	19960912
			US 1995-528510	A 19950912
			CA 1996-2229814	A3 19960912
US 5994372	A	19991130	US 1996-712881	19960912
			US 1995-528510	A2 19950912
JP 2002069004	A2	20020308	JP 2001-224729	19960912
			US 1995-528510	A 19950912
			JP 1997-512136	A3 19960912
US 6166039	A	20001226	US 1998-199873	19981124
			US 1995-528510	A1 19950912
US 6576650	B1	20030610	US 2000-695745	20001023
			US 1995-528510	A3 19950912
			US 1998-199873	A3 19981124

OS MARPAT 126:308827

IT **189024-73-5 189024-74-6 189024-80-4**
189024-83-7 189024-84-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peripherally active anti-hyperalgesic opiates)

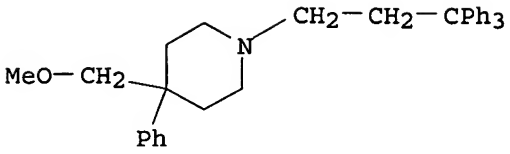
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)

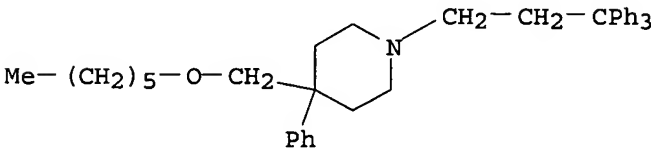


RN 189024-74-6 CAPLUS

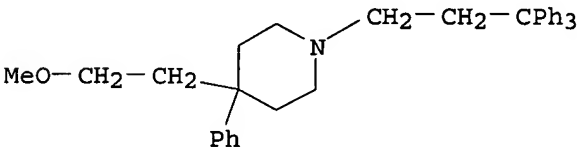
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



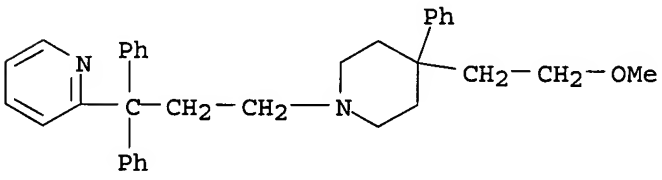
RN 189024-80-4 CAPLUS
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



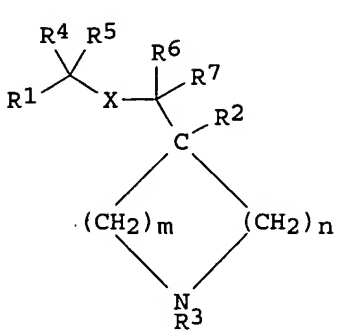
RN 189024-83-7 CAPLUS
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



RN 189024-84-8 CAPLUS
CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



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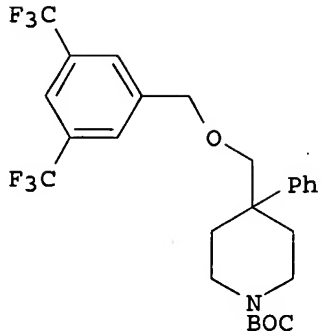

II

AB Title compds. [I; m = 2-4; n = 0-2 when m = 2 or 3, and n = 0 or 1 when m = 4; X = O, S; R1 = (substituted) Ph; R2 = (substituted) Ph, indazolyl, thienyl, furyl, pyridyl, thiazolyl, tetrazolyl, quinolyl, benzhydryl, benzyl; R3 = H, COR9, CO2R10, COCONR10R11, COCO2R10, SO2R15, CONR10SO2R15, (substituted) alkyl, Ph; R4-R7 = H, alkyl; R9 = H, alkyl, Ph; R10, R11 = H, alkyl; R15 = alkyl, CF3, (substituted) Ph], were prepared Thus, 4-phenyl-4-carboxypiperidine tosylate was reduced with LiAlH4 in THF and the product was treated with di-tert-Bu dicarbonate to give 1-tert-butoxycarbonyl-4-phenyl-4-hydroxymethylpiperidine. The latter was stirred with 3,5-bistrifluoromethylbenzyl bromide and NaH in DMF to give title compound II. I showed IC50 at NKIR of <500 nM.

AN 1995:304898 CAPLUS

DN 122:81123

TI Preparation of 4-(arylmethyloxymethyl)piperidines as tachykinin antagonists.

IN Harrison, Timothy; Macleod, Angus Murray; Stevenson, Graeme Irvine; Williams, Brian John

PA Merck Sharp and Dohme Ltd., UK

SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 9410165	A1	19940511	WO 1993-GB2214		19931027	<--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
				GB 1992-22633	A	19921028	
				GB 1993-8962	A	19930430	
				GB 1993-13680	A	19930702	
				GB 1993-16112	A	19930804	
	CA 2146767	AA	19940511	CA 1993-2146767		19931027	<--
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				GB 1993-16112	A	19930804	
				WO 1993-GB2214	W	19931027	
	AU 9453429	A1	19940524	AU 1994-53429		19931027	<--
	AU 678409	B2	19970529				
				GB 1992-22633	A	19921028	
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				GB 1993-13680	A	19930702	

				GB 1993-16112	A	19930804
				WO 1993-GB2214	W	19931027
EP 666856	A1	19950816		EP 1993-923630		19931027 <--
EP 666856	B1	20000105				
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				GB 1993-16112	A	19930804
				WO 1993-GB2214	W	19931027
JP 08502510	T2	19960319		JP 1993-510825		19931027 <--
				GB 1992-22633	A	19921028
				GB 1993-8962	A	19930430
				GB 1993-13680	A	19930702
				GB 1993-16112	A	19930804
				WO 1993-GB2214	W	19931027
AT 188472	E	20000115		AT 1993-923630		19931027 <--
				GB 1992-22633	A	19921028
				GB 1993-8962	A	19930430
				GB 1993-13680	A	19930702
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				WO 1993-GB2214	W	19931027
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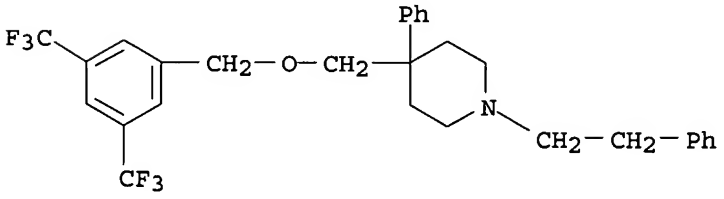
				GB 1992-22633	A	19921028
				GB 1993-8962	A	19930430
				GB 1993-13680	A	19930702
				GB 1993-16112	A	19930804
US 5620989	A	19970415		US 1995-416813		19950413 <--
				GB 1992-22633	A	19921028
				GB 1993-8962	A	19930430
				GB 1993-13680	A	19930702
				GB 1993-16112	A	19930804
				WO 1993-GB2214	W	19931027

OS MARPAT 122:81123

IT **160376-11-4P 160377-06-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as tachykinin antagonist)

RN 160376-11-4 CAPLUS

CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

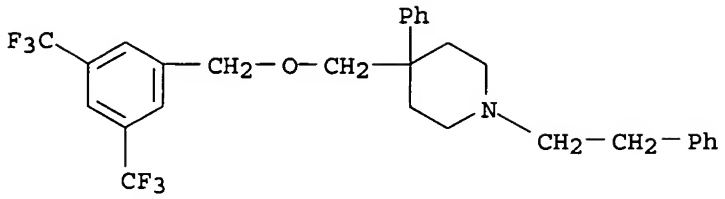


RN 160377-06-0 CAPLUS

CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

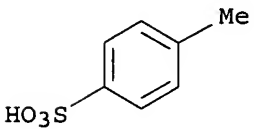
CM 1

CRN 160376-11-4
CMF C29 H29 F6 N O

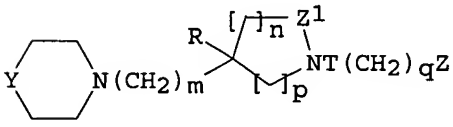


CM 2

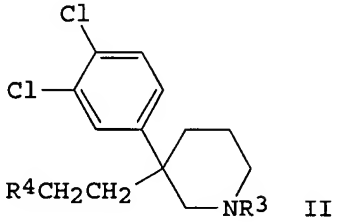
CRN 104-15-4
CMF C7 H8 O3 S



L20 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I



II

AB Title compds. [I; R = Ph, (benzo)thienyl, naphthyl, indolyl, etc.; T, Z1 = CO, CH2; Y = NR1, CX(CH2)xR2; R1 = Ph, PhCH2, cycloalkyl(methyl), pyridyl(methyl), etc.; R2 = Ph, pyridyl, thienyl; X = H, OH, alkoxy, acyloxy, CO2H, etc.; Z = Ph, naphthyl, pyridyl, thienyl, etc.; n, q = 0-3; p = 1, 2; x = 0, 1] were prepared Thus, 3,4-Cl2C6H3CH2CN was condensed with 2-(2-bromoethoxy)tetrahydropyran and the product condensed with BrCH2CH2CO2Et to give, after cyclization and reduction, piperidine II (R3 = H, R4 = tetrahydropyranyloxy) which was N-acetylated with PhCH2CO2H and the product converted to II (R3 = COCH2Ph) (III; R4 = OSO2Me). The latter was condensed with 4-benzylpiperidine to give III (R4 = 4-benzylpiperidino) which had Ki of 8.3 nM for antagonism of substance P binding in vitro.
AN 1993:124405 CAPLUS

DN 118:124405
TI Preparation of 1-aralk(ano)yl-3-aryl-3-(piperidinoalkyl)piperidines and analogs as substance P and neurokinin antagonists
IN Goulaouic, Pierre; Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo
PA Elf Sanofi SA, Fr.
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	EP 512901	A1	19921111	EP 1992-401235		19920430	<--
	EP 512901	B1	19990623				
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE						
				FR 1991-5487	A	19910503	
	FR 2676055	A1	19921106	FR 1991-5487		19910503	<--
	FR 2676055	B1	19930903				
	NO 9201734	A	19921104	NO 1992-1734		19920430	<--
	NO 178573	B	19960115				
	NO 178573	C	19960424				
				FR 1991-5487	A	19910503	
	ZA 9203178	A	19930127	ZA 1992-3178		19920430	<--
				FR 1991-5487	A	19910503	
	HU 61539	A2	19930128	HU 1992-1458		19920430	<--
				FR 1991-5487	A	19910503	
	RU 2083574	C1	19970710	RU 1992-5011707		19920430	<--
				FR 1991-5487	A	19910503	
	FI 101299	B1	19980529	FI 1992-1951		19920430	<--
				FR 1991-5487	A	19910503	
	AT 181550	E	19990715	AT 1992-401235		19920430	<--
				FR 1991-5487	A	19910503	
	CZ 285409	B6	19990811	CZ 1992-1329		19920430	<--
				FR 1991-5487	A	19910503	
	ES 2137176	T3	19991216	ES 1992-401235		19920430	<--
				FR 1991-5487	A	19910503	
	CA 2067877	AA	19921104	CA 1992-2067877		19920501	<--
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				FR 1991-5487	A	19910503	
	AU 9215916	A1	19921105	AU 1992-15916		19920501	<--
	AU 652046	B2	19940811				
				FR 1991-5487	A	19910503	
	IL 101760	A1	19970218	IL 1992-101760		19920501	<--
				FR 1991-5487	A	19910503	
	IL 117921	A1	19970218	IL 1992-117921		19920501	<--
				FR 1991-5487	A	19910503	
				IL 1992-101760	A3	19920501	
	BR 9201656	A	19921215	BR 1992-1656		19920504	<--
				FR 1991-5487	A	19910503	
	US 5340822	A	19940823	US 1992-878710		19920504	<--
				FR 1991-5487	A	19910503	
	JP 05186425	A2	19930727	JP 1992-113820		19920506	<--
	JP 3242980	B2	20011225				
				FR 1991-5487	A	19910503	
	US 5770735	A	19980623	US 1994-261269		19940615	<--
				FR 1991-5487	A	19910503	
				US 1992-878710	A3	19920504	
	FI 9501242	A	19950316	FI 1995-1242		19950316	<--
	FI 101298	B1	19980529				

				FR 1991-5487	A	19910503
				FI 1992-1951	A	19920430
FI 9501243	A	19950316		FI 1995-1243		19950316 <--
FI 114635	B1	20041130				
				FR 1991-5487	A	19910503
				FI 1992-1951	A	19920430
US 5625060	A	19970429		US 1995-463270		19950605 <--
				FR 1991-5487	A	19910503
				US 1992-878710	A3	19920504
				US 1994-261269	A3	19940615
HK 1005138	A1	20000512		HK 1998-104344		19980519 <--
				FR 1991-5487	A	19910503

OS MARPAT 118:124405

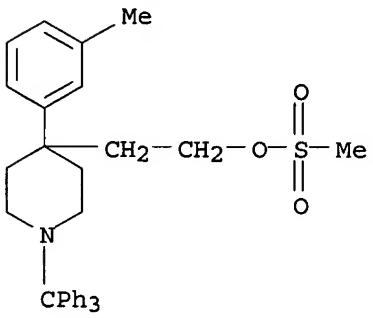
IT **146395-92-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of neurokinin and substance P antagonists)

RN 146395-92-8 CAPLUS

CN 4-Piperidineethanol, 4-(3-methylphenyl)-1-(triphenylmethyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



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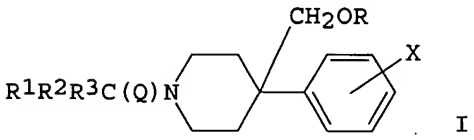


AB The title compds. I [R = H, C1-4 alkyl, C2-5 alkanoyl; R1, R2, R3 = Ph, C1-4 alkylphenyl, halophenyl, pyridyl, thienyl, X = H, halo, C1-4 alkyl, Q = CH2CH2, CHMeCH2, (CH2)3], useful in treatment of diarrhea, were prepared by reduction of the corresponding piperidinecarboxylic acids with a variety of hydrides. Thus, Ph3CCH2COCl, prepared from Ph3COH and CH2(CO2H)2, treated with 4-phenyl-4-piperidinecarboxylic acid in C6H6 containing Et3N followed by reduction with LiAlH4 2.5 h in refluxing ether gave I (R = X = H, R1 = R2 = R3 = Ph, Q = CH2CH2) as its hydrochloride.

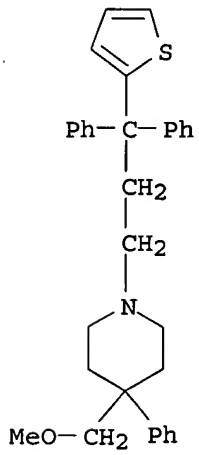
AN 1981:30573 CAPLUS
DN 94:30573
TI 1-(3,3,3,-Triarylpropyl)-4-phenyl-4-piperidinemethanols
IN Adelstein, Gilbert W.; Dajani, Esam Z.; Yen, Chung H.
PA G. D. Searle & Co. of Canada Ltd., Can.
SO Can., 38 pp.
CODEN: CAXXA4
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1079734	A1	19800617	CA 1976-250373	19760415 <--
			CA 1976-250373 A5	19760415A A

IT **61532-48-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and diarrhea treatment by)
RN 61532-48-7 CAPLUS
CN Piperidine, 1-[3,3-diphenyl-3-(2-thienyl)propyl]-4-(methoxymethyl)-4-phenyl-, ethanedioate (9CI) (CA INDEX NAME)

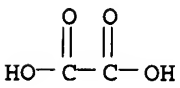
CM 1

CRN 61532-47-6
CMF C32 H35 N O S

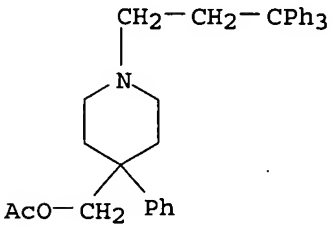


CM 2

CRN 144-62-7
CMF C2 H2 O4

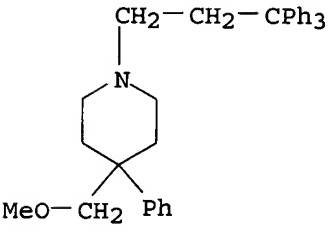


IT **61532-44-3P 61532-45-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61532-44-3 CAPLUS
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester), hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 61532-45-4 CAPLUS
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

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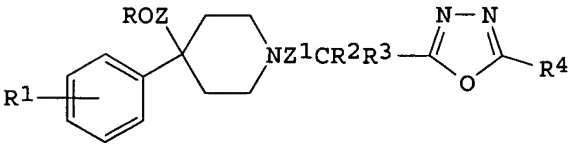


I

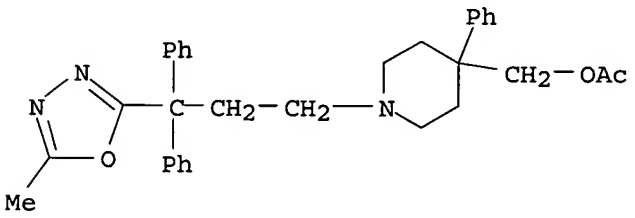
AB (Piperidinoalkyl)oxadiazoles I (R = H, alkyl, alkanoyl; R1 = H, halo, alkyl, CF3; Z = C1-4 alkylene; Z1 = linear or branched C2-4 alkylene; R2

and R3 are independently Ph, alkylphenyl, halophenyl, pyridyl; R4 = H, alkyl), which showed anti-diarrhea activity, were prepared from N-(ω-cyanoalkyl)piperidines. 4-(4-Hydroxymethyl-4-phenyl-1-piperidinyl)-2,2-diphenylbutyronitrile reacted with NaN3 and the tetrazole derivative obtained was heated with Ac2O in pyridine to yield I (R = Ac, R1 = H, Z = CH2, Z1 = CH2CH2, R2 = R3 = Ph, R4 = Me).

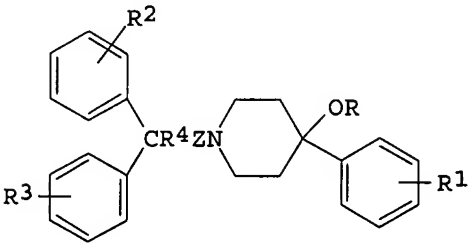
AN 1980:446688 CAPLUS
DN 93:46688
TI 2-[[4-(Hydroxymethyl)-1-piperidinyl]alkyl]-1,3,4-oxadiazoles
IN Adelstein, Gilbert W.
PA G.D. Searle and Co., USA
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4194045	A	19800318	US 1977-864989	19771227 <--
			US 1977-864989 A	19771227

IT **74173-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 74173-97-0 CAPLUS
CN 4-Piperidinemethanol, 1-[3-(5-methyl-1,3,4-oxadiazol-2-yl)-3,3-diphenylpropyl]-4-phenyl-, acetate (ester) (9CI) (CA INDEX NAME)



L20 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

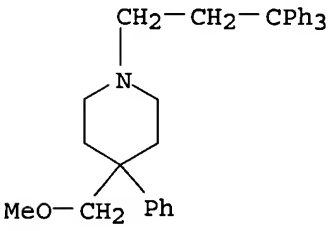
AB The title compds. [I; Z = C2-4 alkylene; R = H, alkyl, acyl; R1-R3 = H,

alkyl, Cl; R4 = (substituted) Ph, pyridyl, thienyl] and their salts were prepared and tested as analgesics and antidiarrheal agents. Thus, Ph3CCH2COCl reacted with 4-phenylpiperidinecarboxylic acid, and the product was reduced with LiAlH4 to give I (R-R3 = H, R4 = Ph, Z = CH2CH2).

AN 1980:408031 CAPLUS
DN 93:8031
TI 1-(Triarylalkyl)-4-phenyl-4-piperidinomethanol derivatives
PA G.D. Searle and Co., USA
SO Pol., 6 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

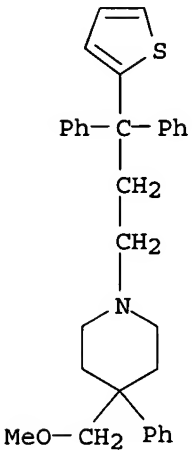
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 105435	P	19791031	PL 1976-188845	19760416 <--
			PL 1976-188845 A	19760416

IT **61532-45-4P 61532-47-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61532-45-4 CAPLUS
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)

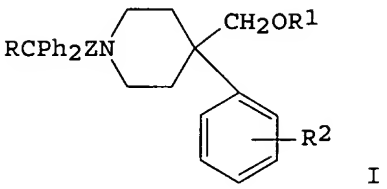


● HCl

RN 61532-47-6 CAPLUS
CN Piperidine, 1-[3,3-diphenyl-3-(2-thienyl)propyl]-4-(methoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



L20 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB The title compds. (I) (R = Ph, pyridyl; R1 = C5-10 alkyl; R2 = H, halogen, Me; Z = C2-4 alkylene) and their salts were prepared Thus, Ph3COH was heated with H2C(CO2H)2 to give Ph3CCH2CO2H, which was converted into the acid chloride. Et 4-phenyl-4-piperidinecarboxylate was treated with Ph3CCH2COCl and LiAlH4, followed by treatment with Me(CH2)5Br and NaOH to give I (R = Ph, R1 = hexyl, R2 = H, Z = CH2CH2). I had antidiarrheal action, as shown by animal tests.

AN 1978:424166 CAPLUS
DN 89:24166
TI 1-(3,3,3-Triarylpropyl)-4-phenyl-4-piperidinemethanol ether
IN Adelstein, Gilbert William; Dajani, Esam Zafer; Yen, Chung Hwai
PA G.D. Searle and Co., USA
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	DE 2746574	A1	19780420	DE 1977-2746574		19771017	<--
				US 1976-733502	A	19761018	
	US 4072686	A	19780207	US 1976-733502		19761018	<--

			US 1975-568439	A2	19750416
			ZA 1976-1681	A	19760318
BE 859823	A4	19780417	BE 1977-181824		19771017 <--
			US 1976-733502	A	19761018
DK 7704623	A	19780419	DK 1977-4623		19771017 <--
			US 1976-733502	A	19761018
NO 7703550	A	19780419	NO 1977-3550		19771017 <--
			US 1976-733502	A	19761018
SE 7711655	A	19780419	SE 1977-11655		19771017 <--
			US 1976-733502	A	19761018
ES 463284	A2	19780716	ES 1977-463284		19771017 <--
			US 1976-733502	A	19761018
ZA 7706169	A	19781129	ZA 1977-6169		19771017 <--
			US 1976-733502	A	19761018
GB 1545665	A	19790510	GB 1977-43051		19771017 <--
			US 1975-568439	A	19750416
			GB 1976-15542	A	19760415
			US 1976-733502	A	19761018
AT 7707420	A	19791215	AT 1977-7420		19771017 <--
AT 357531	B	19800710			
			US 1976-733502	A	19761018
AU 509558	B2	19800515	AU 1977-29802		19771017 <--
AU 7729802	A1	19790426			
			US 1976-733502	A	19761018
JP 53050180	A2	19780508	JP 1977-125031		19771018 <--
			US 1976-733502	A	19761018
FR 2367746	A2	19780512	FR 1977-31343		19771018 <--
			US 1976-733502	A	19761018
CH 614448	A	19791130	CH 1979-1753		19790222 <--
			CH 1976-4852	A	19760415

PATENT FAMILY INFORMATION:

FAN 1977:43574

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 2616616	A1	19761028	DE 1976-2616616		19760415
				US 1975-568439	A	19750416
	US 3998832	A	19761221	US 1975-568439	A	19750416
	ZA 7601681	A	19770427	ZA 1976-1681		19760318
				US 1975-568439	A	19750416
	NL 7603641	A	19761019	NL 1976-3641		19760407
				US 1975-568439	A	19750416
	DK 7601739	A	19761017	DK 1976-1739		19760414
				US 1975-568439	A	19750416
	DK 7601747	A	19761017	DK 1976-1747		19760414
				US 1975-568439	A	19750416
	NO 7601303	A	19761019	NO 1976-1303		19760414
				US 1975-568439	A	19750416
	NO 7601304	A	19761019	NO 1976-1304		19760414
				US 1975-568439	A	19750416
	ES 447010	A1	19771001	ES 1976-447010		19760414
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	ES 447011	A1	19771116	ES 1976-447011		19760414
				US 1975-568439	A	19750416
	IL 49424	A1	19790131	IL 1976-49424		19760414
				US 1975-568439	A	19750416
	IL 49422	A1	19790930	IL 1976-49422		19760414
				US 1975-568439	A	19750416
	BE 840797	A1	19761015	BE 1976-166202		19760415

			US 1975-568439	A	19750416
BE 840798	A1	19761015	BE 1976-166203		19760415
			US 1975-568439	A	19750416
FI 7601041	A	19761017	FI 1976-1041		19760415
			US 1975-568439	A	19750416
FI 7601042	A	19761017	FI 1976-1042		19760415
			US 1975-568439	A	19750416
SE 7604475	A	19761017	SE 1976-4475		19760415
			US 1975-568439	A	19750416
SE 7604476	A	19761017	SE 1976-4476		19760415
			US 1975-568439	A	19750416
NL 7604063	A	19761019	NL 1976-4063		19760415
			US 1975-568439	A	19750416
JP 51127054	A2	19761105	JP 1976-43021		19760415
			US 1975-568439	A	19750416
FR 2307535	A1	19761112	FR 1976-11236		19760415
FR 2307535	B1	19781117			
			US 1975-568439	A	19750416
JP 51131880	A2	19761116	JP 1976-43020		19760415
			US 1975-568439	A	19750416
ZA 7602292	A	19770525	ZA 1976-2292		19760415
			US 1975-568439	A	19750416
AU 7613042	A1	19771020	AU 1976-13042		19760415
AU 502260	B2	19790719			
			US 1975-568439	A	19750416
AU 7613043	A1	19771020	AU 1976-13043		19760415
AU 499878	B2	19790503			
			US 1975-568439	A	19750416
AT 7602789	A	19780515	AT 1976-2789		19760415
AT 347463	B	19781227			
			US 1975-568439	A	19750416
AT 7602790	A	19780715	AT 1976-2790		19760415
AT 348525	B	19790226			
			US 1975-568439	A	19750416
FR 2307530	B1	19781117	FR 1976-11237		19760415
			US 1975-568439	A	19750416
GB 1537880	A	19790110	GB 1976-15542		19760415
			US 1975-568439	A	19750416
HU 173012	P	19790128	HU 1976-SE1827		19760415
			US 1975-568439	A	19750416
GB 1540103	A	19790207	GB 1976-15541		19760415
			US 1975-568439	A	19750416
CH 614197	A	19791115	CH 1976-4852		19760415
			US 1975-568439	A	19750416
CH 626879	A	19811215	CH 1976-4853		19760415
			US 1975-568439	A	19750416
US 4057549	A	19771108	US 1976-733503		19761018
			US 1975-568439	A3	19750416
US 4066654	A	19780103	US 1976-741589		19761115
			US 1975-568439	A2	19750416
ES 459283	A1	19780301	ES 1977-459283		19770530
			US 1975-568439	A	19750416
US 4086227	A	19780425	US 1977-821067		19770802
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FAN 1977:55301

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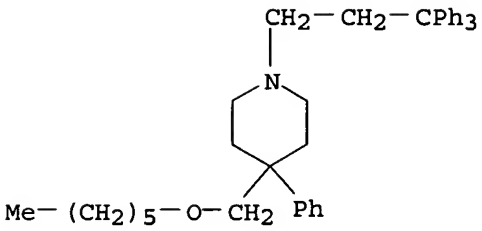
IT **66893-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antidiarrheal activity of)
RN 66893-44-5 CAPLUS
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
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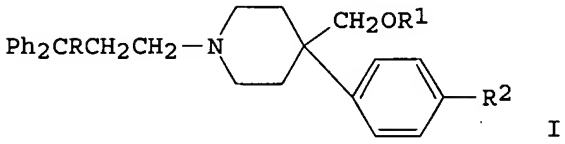

I

AB Piperidinemethanol derivs. (I; R = Ph, 2-pyridinyl, 2-thienyl; R1 = H, Ac, Me; R2 = H, Cl), useful as diarrhea inhibitors, are prepared by standard methods. Thus, reaction of Ph3COH with CH2(CO2H)2 gives Ph3CCH2CO2H which is converted to Ph3CCH2COCl (II). Reaction of II with Et 4-phenyl-4-piperidinecarboxylate gives Et 4-phenyl-1-(3,3,3-triphenylpropionyl)-4-piperidinecarboxylate which on reduction with LiAlH4 gives I (R = Ph, R1 = R2 = H).

AN 1977:43574 CAPLUS
DN 86:43574
TI 1-(Triarylalkyl)-4-phenylpiperidine derivatives
IN Adelstein, Gilbert W.; Dajani, Esam Z.; Yen, Chung Hwai
PA G.D. Searle and Co., USA
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 3

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IT **61532-44-3P 61532-45-4P 61532-48-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and diarrhea-inhibiting activity of)

RN 61532-44-3 CAPLUS

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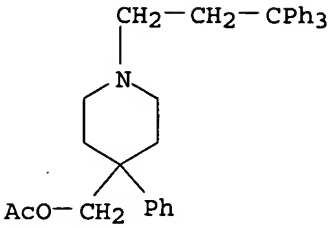

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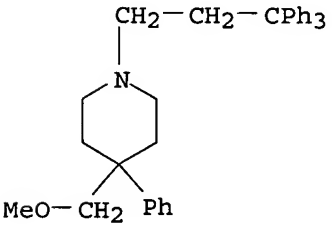

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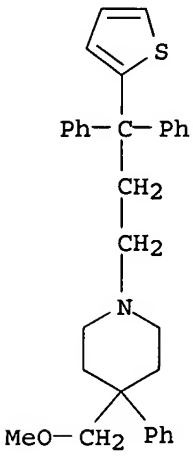

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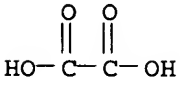
CRN 144-62-7
CMF C2 H2 O4



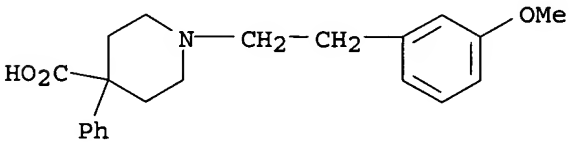
L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
AB The prepn, is described of 1-(3-substituted-phenethyl) derivs, of 4-phenylisonipecotates and their acid addition compds., which are analgesics, m-Aminophenethyl chloride-HCl (I) (9.2 g.), 10.3 g. Et 4-phenylisonipecotate carbonate (II), 14 g. NaHCO3, and 125 cc. anhydrous EtOH stirred and refluxed 40 hrs., the mixture concentrated in vacuo to dryness, 150 cc. H2O added and the solution extracted with Et2O, the extract dried and concd, in vacuo to give the crude free base, the base dissolved in hot anhydrous Et2O and 20% alc. HCl added, and the resulting oil washed with Et2O and dried gives 17 g. crude Et 1-(m-aminophenethyl)4-phenylisonipecotate-2HCl (III). III is purified by treating with Me2CO, triturating with EtOAC, and dissolving in 9:1 iso-PrOH-EtOAc, from which solvent crystallization proceeds slowly; the yield is 0.5 g., m. 269-71°. Concentration of the filtrate in vacuo yields 0.05 g. III. I, m. 175-8°, is obtained in 9.75-g. yield by treating a solution of 121 g. SnCl2.2H2O and 300 cc. concentrated HCl with 25 g. m-nitrophenethyl chloride in small portions on the steam bath over 45 min., heating 60 min. further with stirring, cooling, adding 850 cc. cold 30% NaOH, extracting with ether, washing the exts. with H2O, drying and filtering the exts., adding excess 20% alc. HCl, and washing the crystals obtained at 0° with Et2O. Et 1-(m-aminophenethyl)-4-phenylisonipecotate from 1 g. III heated 1 hr. on a steam bath with 2 cc. each of glacial HOAc and Ac2O, the mixture, after standing overnight at room temperature, diluted with 25 cc. H2O and neutralized with excess NaHCO3 ppts. Et 1-(m-acetamidophenethyl)-4-phenylisonipecotate (IV). IV is converted to the HCl salt by treatment with HCl in anhydrous EtOH. Et 1-(m-methoxyphenethyl)-4-phenylisonipecotate (V) is prepared from 22.5 g. m-methoxyphenethyl bromide (VI), 26 g. II, 21.5 g. NaHCO3, and 300 cc. anhydrous EtOH similarly to the preparation of the base of III. V.HCl, m. 153-5°, is obtained by passing HCl gas through a solution of V in Et2O and recrystg. from Me2CO. m-Methoxyphenylacetic acid (50 g.) and 45 g. SOCl2 heated 2.5 hrs. on a steam bath, 100 cc. C6H6 added, the mixture distilled in vacuo and the C6H6 treatment and distillation repeated yields 58 g. m-methoxyphenylacetyl chloride (VII) as an oil. VII (58 g.) and 80 cc. dioxane is added at 10 and 15° over 25 min. to 76 g. NaBH4 and 800 cc. dioxane under N, the mixture stirred 2.5 hrs. at room temperature, 250 cc. concentrated HCl and 500 cc. ice H2O added at 10 to 20° over 75 min., 250 cc. 30% NaOH and then 500 cc. H2O added, the mixture extracted with CHCl3, and the extract dried and concentrated in vacuo to dryness to give 86% m-methoxyphenethyl alcohol (VIII). VIII (38 g.) added gradually to 30 g. PBr3, the mixture heated 2 hrs. on the steam bath, ice H2O added, the product extracted with Et2O, and the extract washed with NaHCO3 solution and then with H2O and evaporated to dryness in vacuo gives 66% VI, an oil. The following are prepared similarly to the preparation of V.HCl: Et 1-(m-hydroxyphenethyl)-4-phenylisonipecotate-HCl, m. 174-6°, from m-phosphatophenethyl bromide (IX); Et 1-(3-chlorophenylethyl)-4-phenylisonipecotate-HCl, from m-chlorophenethyl chloride; Et 1-(m-nitrophenethyl)-4-phenylisonipecotate-HCl, from m-nitrophenethyl chloride (X). IX is prepared from m-methoxyphenethyl alc. and PBr3 as in the preparation of VI, except that the combined NaHCO3 and H2O washes are acidified to pH 3, extracted with Et2O, and the Et2O extract dried and concentrated to

dryness. X is prepared in 25 g. yield by adding over 10 min. 10.7 g. NANO2 in 15 cc. H2O dropwise below 10° to a mixture of 28 g. 4-amino-3-nitrophenethyl chloride, 65 g. EtOH, and 33 g. concentrated H2SO4, stirring the mixture at 8 to 10° until gas evolution ceases, warming cautiously to 70° under reflux until the vigorous reaction at this temperature is over, pouring the mixture into 300 cc. ice H2O and 25 g. Na2CO3, acidifying and extracting with C6H6, and drying the extract and concentrating in vacuo to dryness.

AN 1960:39146 CAPLUS
DN 54:39146
OREF 54:7740f-i,7741a-d
TI 4-Phenylisonipecotates
PA Merck & Co., Inc.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 817357		19590729	GB	<--

IT **855291-81-5**, Isonipecotic acid, 1-(m-methoxyphenethyl)-4-phenyl-, hydrochloride
(preparation of)
RN 855291-81-5 CAPLUS
CN Isonipecotic acid, 1-(m-methoxyphenethyl)-4-phenyl-, hydrochloride (6CI) (CA INDEX NAME)



● HCl